```
=> d his

     (FILE 'HOME' ENTERED AT 12:53:51 ON 24 FEB 2003)

     FILE 'REGISTRY' ENTERED AT 12:53:57 ON 24 FEB 2003
               ACTIVATE KIM855/A
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L1              STR
L2           78 SEA FILE=REGISTRY SSS FUL L1
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     FILE 'BEILSTEIN' ENTERED AT 12:54:10 ON 24 FEB 2003
L3            1 S L2 FULL

=> d all


L3   ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL

     Beilstein Records (BRN):          5673666
     CAS Reg. No. (RN):                96285-40-4, 126784-99-4
     Chemical Name (CN):               17.alpha.-acetoxy-11.beta.-(4-
                                       dimethylaminophenyl)-13.alpha.-methyl-
                                       18,19-dinor-pregna-4,9-diene-3,20-dione
     Autonom Name (AUN):               acetic acid 17-acetyl-11-(4-dimethylamino-
                                       phenyl)-13-methyl-3-oxo-
                                       2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-
                                       1H-cyclopenta<a>phenanthren-17-yl ester
     Molec. Formula (MF):              C30 H37 N O4
     Molecular Weight (MW):            475.63
     Lawson Number (LN):               15934, 2817, 1155
     File Segment (FS):                Stereo compound
     Compound Type (CTYPE):            isocyclic
     Constitution ID (CONSID):         5000625
     Tautomer ID (TAUTID):             5427628
     Beilstein Citation (BSO):         6-14
     Entry Date (DED):                 1993/02/12
     Update Date (DUPD):               1994/02/18
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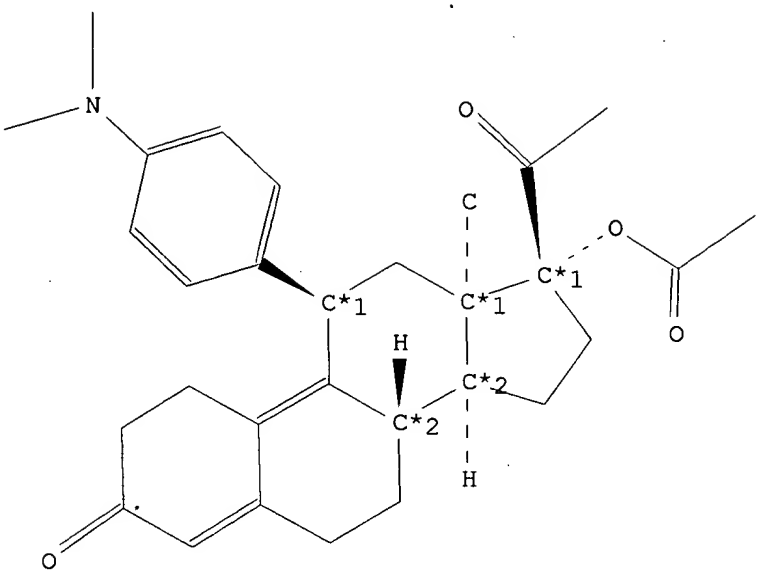

Atom/Bond Notes:
1. CIP Descriptor: R
2. CIP Descriptor: S

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
RN	CAS Registry Number	2
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	1
ORP	Optical Rotatory Power	1
PHARM	Pharmacological Data	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value (MP) (Cel)	Solvent (.SOL)	Ref.
194 - 195	ethyl acetate, hexane	1

Reference(s):
1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372; BABS-5685283

Optical Rotatory Power:

Value (ORP) (deg)	Type (.TYP)	Concentr. (.C)	Solvent (.SOL)	Wavelen. (.W) (nm)	Temp. (.T) (Cel)	Ref.
372.3	[alpha]	0.39 g/100ml	CHCl3	589	25	1

Reference(s):
1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372; BABS-5685283

Nuclear Magnetic Resonance:
NMR
Description (.KW): Chemical shifts
Nucleus (.NUC): 1H
Solvents (.SOL): CDCl3
Reference(s):
1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372; BABS-5685283

Infrared Spectrum:

Description (.KW)	Solvent (.SOL)	Ref.	Note
Bands	KBr	1	1

Reference(s):
1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372; BABS-5685283

Notes(s):
1. 1736 - 1612 cm**(-1)

Pharmacological Data:
PHARM
Note(s) (.COM): reversal of dexamethasone induced tyrosine aminotransferase activity in rat hepatoma cells (antiglucocorticoid activity)
Reference(s):
1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372;

BABS-5685283

Reaction:
RX

Reaction ID (.ID):	2373868
Reactant BRN (.RBRN):	5657948, 385737
Reactant (.RCT):	11.beta.-(4-dimethylaminophenyl)-17.alpha.-hydroxy-13.alpha.-methyl-18,19-dinor-pregna-4,9-diene-3,20-dione, acetic acid anhydride
Product BRN (.PBRN):	5673666
Product (.PRO):	17.alpha.-acetoxy-11.beta.-(4-dimethylaminophenyl)-13.alpha.-methyl-18,19-dinor-pregna-4,9-diene-3,20-dione
No. of React. Details (.NVAR):	1

Reaction Details:
RX

Reaction RID (.RID):	2373868.1
Reaction Classification (.CL):	Preparation
Yield (.YDT):	93 percent (BRN=5673666)
Reagent (.RGT):	4-dimethylaminopyridine
Solvent (.SOL):	toluene
Time (.TIM):	14 hour(s)
Other Conditions (.COND):	Ambient temperature

Reference(s):

1. Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf, Steroids, CODEN: STEDAM, 44(4), <1984>, 349-372; BABS-5685283

=> file marpat

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	119.04	119.65

FILE 'MARPAT' ENTERED AT 12:59:44 ON 24 FEB 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 138 ISS 8)(20030221/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6506784 14 JAN 2003
DE 20211496 09 JAN 2003
EP 1276165 15 JAN 2003
JP 2003013033 15 JAN 2003
WO 2003003393 09 JAN 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> d hs
YOU HAVE REQUESTED DATA FROM FILE 'BEILSTEIN' - CONTINUE? (Y)/N:y

'HS' IS NOT A VALID FORMAT FOR FILE 'BEILSTEIN'

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The following are valid formats:

QRD --------- Query Related Data (IDE plus HIT)
IDE --------- Identification of Substance, plus Structure
  (BRN, MF, LSF, CN, SY, AUN, MW, SO, NTE, LN, RN, BPR, RSI, OS, STR)
ALL --------- All Display fields (Lengthy displaye)
CHE --------- Chemical Data
PHY --------- Physical Data
HIT --------- All fields containing hit terms
Hit terms will be highlighted in all IDE fields in the BEILSTEIN file
A maximum of 20 values are displayed in each single property field.
Use DISPLAY F<prop> for FULL format, e.g. FBP instead of BP.
For more information about display formats, and how to display
individual selected properties, enter 'HELP FORMAT' at an arrow
prompt, e.g. => HELP FORMAT.
ENTER DISPLAY FORMAT (QRD):0
'0' IS NOT A VALID FORMAT FOR FILE 'BEILSTEIN'

The following are valid formats:

QRD --------- Query Related Data (IDE plus HIT)
IDE --------- Identification of Substance, plus Structure
  (BRN, MF, LSF, CN, SY, AUN, MW, SO, NTE, LN, RN, BPR, RSI, OS, STR)
ALL --------- All Display fields (Lengthy displaye)
CHE --------- Chemical Data
PHY --------- Physical Data
HIT --------- All fields containing hit terms
Hit terms will be highlighted in all IDE fields in the BEILSTEIN file
A maximum of 20 values are displayed in each single property field.
Use DISPLAY F<prop> for FULL format, e.g. FBP instead of BP.
For more information about display formats, and how to display
individual selected properties, enter 'HELP FORMAT' at an arrow
prompt, e.g. => HELP FORMAT.
ENTER DISPLAY FORMAT (QRD):end

=> d his

     (FILE 'HOME' ENTERED AT 12:53:51 ON 24 FEB 2003)

     FILE 'REGISTRY' ENTERED AT 12:53:57 ON 24 FEB 2003
               ACTIVATE KIM855/A
              ---------
L1             STR
L2           78 SEA FILE=REGISTRY SSS FUL L1
              ---------

     FILE 'BEILSTEIN' ENTERED AT 12:54:10 ON 24 FEB 2003
L3           1 S L2 FULL

     FILE 'MARPAT' ENTERED AT 12:59:44 ON 24 FEB 2003

     FILE 'BEILSTEIN' ENTERED AT 12:59:52 ON 24 FEB 2003

     FILE 'MARPAT' ENTERED AT 12:59:59 ON 24 FEB 2003

=> s l2 full
FULL SEARCH INITIATED 13:00:11 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED -    267 TO ITERATE
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100.0% PROCESSED 267 ITERATIONS 23 ANSWERS
SEARCH TIME: 00.00.05

L4 23 SEA SSS FUL L1

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'1996' NOT A VALID FIELD CODE
0 PY>=1996
L5 23 L4 NOT PY>=1996

=> del l5 y

=> d ibib ab fqhit 1-23

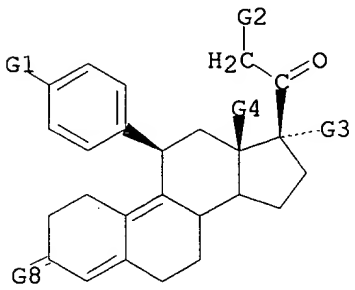
L4 ANSWER 1 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 135:304062 MARPAT
TITLE: Preparation of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregna-4,9-diene-3,20-dione derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.; Simmons, Anne Marie
PATENT ASSIGNEE(S): Secretary of Health and Human Services, USA
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074840	A2	20011011	WO 2001-US8681	20010316
WO 2001074840	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001045849	A5	20011015	AU 2001-45849	20010316
EP 1265911	A2	20021218	EP 2001-918812	20010316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-526855	20000317
			WO 2001-US8681	20010316

AB 19-Norpregna-4,9-diene-3,20-dione derivs. [I; R1 = OMe, SMe, NMe2, NHMe, NC4H8, NC5H10, NC4H8O, CHO, CH(OH)Me, C(O)Me, O(CH2)2NMe2, and -O(CH2)2NC5H10; R2 = H, halogen, alkyl, acyl, hydroxy, alkoxy, acyloxy, alkylcarbonate, cypionyloxy, S-alkyl, -SCN, S-acyl and -OC(O)R6; R6 = alkyl, alkoxy ester, alkoxy; R3 = alkyl, hydroxy, alkoxy and acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] were prepd as antiprogestational agents. The present invention provides methods wherein I were advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat meningiomas; to treat uterine

leiomyomas; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce cervical ripening; to induce labor; and for contraception. Thus, norpregnadienedione deriv. II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps which showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

MSTR 1



G3 = CH2OMe
G8 = O
MPL: claim 1

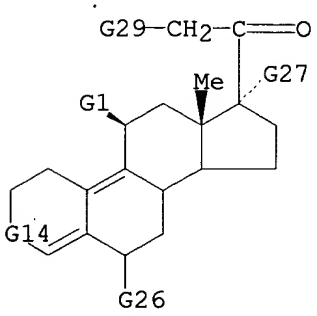
L4 ANSWER 2 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 131:199885 MARPAT
TITLE: Preparation of 20-keto-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
INVENTOR(S): Cook, C. Edgar; Kepler, John A.; Zhang, Ping-sheng; Lee, Yue-wei; Tallent, C. Ray
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945022	A1	19990910	WO 1999-US3732	19990305
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6020328	A	20000201	US 1998-35949	19980306
CA 2322862	AA	19990910	CA 1999-2322862	19990305
AU 9928715	A1	19990920	AU 1999-28715	19990305
EP 1060186	A1	20001220	EP 1999-909531	19990305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9908598	A	20011002	BR 1999-8598	19990305

JP 2002505334 T2 20020219 JP 2000-534564 19990305
PRIORITY APPLN. INFO.: US 1998-35949 19980306
WO 1999-US3732 19990305

AB 20-Keto-11.beta.-arylsteroids of formula I [X = O, (substituted) NOH, H2, OH, etc.; R1 = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.; R2 = H, halo; R3 = H, Me, halo; R4 = H, acyloxy, (substituted) OH, alkyl, etc.; R5 = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one and 4-bromo-N,N-dimethylaniline in several steps. The affinity of II for the progesterone hormone receptor was IC50 of 0.7 nM.

MSTR 1A



G2 = phenylene (SO (1) G3)
G14 = 128

C═G15
128

G15 = O
G27 = 201

C(O)O—G28
201

G28 = alkyl<(1-18)>
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted; also incorporates claim 3

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 130:282222 MARPAT
TITLE: Method for the preparation and pharmaceutic formulation of 11.beta.-benzaldoxime-9.alpha.,10.alpha.-epoxy-estr-4-ene derivatives
INVENTOR(S): Schubert, Gerd; Ring, Sven; Kaufmann, Guenter; Schneider, Birgitt; Elger, Walter
PATENT ASSIGNEE(S): Jenapharm G.m.b.H. und Co. K.-G., Germany
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent

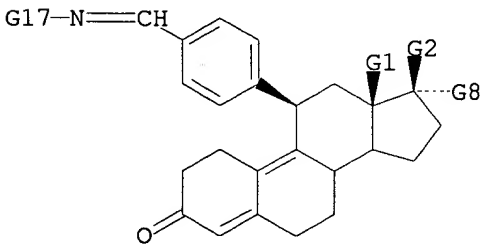
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19745085	A1	19990415	DE 1997-19745085	19971011
EP 909764	A1	19990421	EP 1998-118613	19981001
EP 909764	B1	19990929		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 185145	E	19991015	AT 1998-118613	19981001

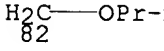
PRIORITY APPLN. INFO.: DE 1997-19745085 19971011

AB 11.beta.-Benzaldoxime-9.alpha.,10.alpha.-epoxy-estr-4-ene derivs., e.g. I (R1 = H, C1-6-alkyl; R2 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, C1-10-acyl, CONHR4, CO2R4; R3 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, (CH2)nCH2Y; R4 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl; Y = F, Cl, Br, I, CN, N3, SCN, OR5, SR5; n = 0 - 2; R5 = H, C1-10-alkyl, aryl, aralkyl, alkylaryl, C1-10-acyl), are described. Thus, (E)-I (R1 = R2 = Me, R3 = CH2OMe, Z = H) was prepd. via regioselective epoxidn. of estradienone II (R1 = R2 = Me, R3 = CH2OMe, Z = H) with m-chloroperbenzoic acid in CH2Cl2. (E)-I (R1 = R2 = Me, R3 = CH2OMe, Z = H) showed 88% affinity for the progesterone receptor but only 12% affinity for the glucocorticoid receptor.

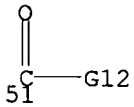
MSTR 2



G2 = 82



G8 = 51



G12 = alkyl<(1-10)>
DER: or pharmaceutically acceptable salts
MPL: claim 1

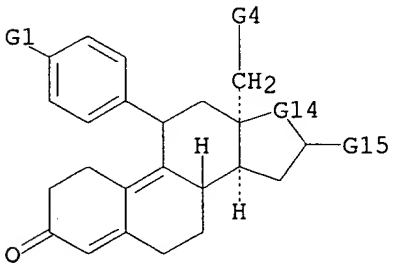
L4 ANSWER 4 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 129:50105 MARPAT

TITLE: Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
INVENTOR(S): Oberlander, Claude; Piazza, Pier Vincenzo
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.; Oberlander, Claude; Piazza, Pier Vincenzo
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9826783	A1	19980625	WO 1997-FR2320	19971217
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2757400	A1	19980626	FR 1996-15649	19961219
FR 2757400	B1	19991217		
AU 9855632	A1	19980715	AU 1998-55632	19971217
EP 892641	A1	19990127	EP 1997-952078	19971217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPLN. INFO.:			FR 1996-15649	19961219
			WO 1997-FR2320	19971217

AB Glucocorticoid antagonists,except mifepristone, are used as dopamine type II receptor antagonists to treat psychotic or addictive behavior. Thus, 17.beta.-hydroxy-10.beta.-[(4-methylphenyl)methyl]-17.alpha.-(1-propynyl)estra-4,9(11)-dien-3-one considerably reduced the response to morphine in vivo.

MSTR 6



G5 = 62

62 C(O)-CH2-G12

G13 = alkoxy<(1-4)>
G14 = 65

G5—C
G13—65

DER: and pharmaceutically acceptable acid or basic addition salts
MPL: claim 16

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

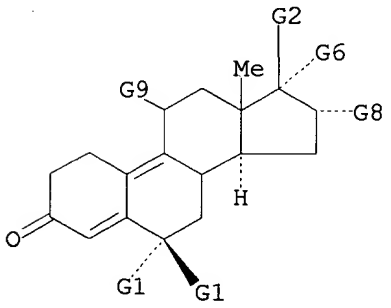
L4 ANSWER 5 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 128:188869 MARPAT
TITLE: Mixed agonists of the progesterone receptor and assays for them
INVENTOR(S): McDonnell, Donald P.; Wagner, Brandee L.
PATENT ASSIGNEE(S): Duke University, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805679	A2	19980212	WO 1997-US13754	19970805

W: CA
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: US 1996-23206P 19960805

AB A third class of PR-ligand (i.e. mixed agonist) is identified which induces a progesterone receptor conformation distinct from that induced by a PR agonist or antagonist; the agonists are estra-4,9-dien-3-one derivs. PR mixed agonists exhibit partial agonist activity which is influenced by cell context. These compds. provide useful pharmacol. profiles for treating progesterone related diseases and/or conditions, such as uterine proliferation from estrogen administration, endometriosis, breast cancer, fibroids, endometrial cancer, and brain meningiomas. The agonists can also be used as contraceptives. Assays are provided to screen for PR mixed agonists. Mol. designs are provided to convert a PR antagonist to a PR mixed agonist.

MSTR 1



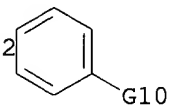
G2 = 30

30 C(O)-G3

G3 = CH2OH
G4 = CF3
G6 = 41

41 C(O)-O--G4

G9 = 52

52  G10

MPL: claim 4

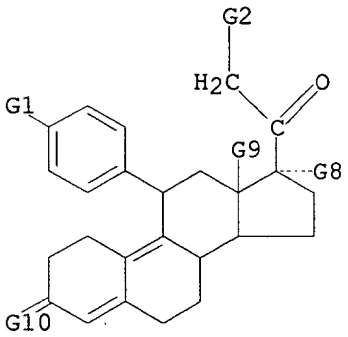
L4 ANSWER 6 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 127:358992 MARPAT
TITLE: Preparation of 21-substituted progesterone derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA; Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741145	A1	19971106	WO 1997-US7373	19970430
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2253673	AA	19971106	CA 1997-2253673	19970430
AU 9729304	A1	19971119	AU 1997-29304	19970430
AU 710139	B2	19990916		
EP 900234	A1	19990310	EP 1997-923523	19970430
EP 900234	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 194358	E	20000715	AT 1997-923523	19970430
JP 2000509396	T2	20000725	JP 1997-539232	19970430
ES 2152671	T3	20010201	ES 1997-923523	19970430

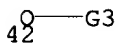
US 2002025951 A1 20020228 US 1999-180132 19990524
PRIORITY APPLN. INFO.: US 1996-16628P 19960501
WO 1997-US7373 19970430

AB Progesterone derivs. of formula I [R1 = OMe, SMe, NMe2, NHMe, CHO, Ac, CHOHCH3; R2 = halo, alkyl, acyl, OH, alkoxy, etc.; R3 = OH, alkyl, alkoxy, acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] are prepd. as antiprogestational agents. The present invention provides methods wherein the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. II showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

MSTR 1



G3 = alkyl<(1-6)> (SO)
G8 = 42



G10 = O
MPL: claim 1

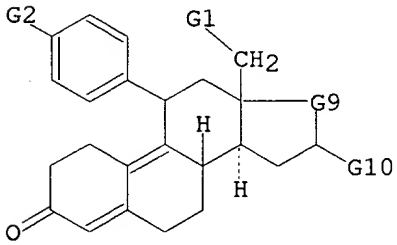
L4 ANSWER 7 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 124:22540 MARPAT
TITLE: Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.
INVENTOR(S): Petit, Francis; Philibert, Daniel; Ulmann, Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

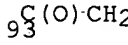
EP 676203	A1	19951011	EP 1995-400764	19950406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2718354	A1	19951013	FR 1994-4156	19940408
FR 2718354	B1	19960503		
ZA 9502058	A	19960313	ZA 1995-2058	19950313
CA 2146600	AA	19951009	CA 1995-2146600	19950407
FI 9501683	A	19951009	FI 1995-1683	19950407
AU 9516326	A1	19951019	AU 1995-16326	19950407
JP 07278017	A2	19951024	JP 1995-107071	19950407
HU 71468	A2	19951128	HU 1995-1019	19950407
CN 1116929	A	19960221	CN 1995-104015	19950407
PRIORITY APPLN. INFO.:			FR 1994-4156	19940408

AB Antiglucocorticoid steroids such as mifepristone, onapristone, lilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontaneous or pptd. by narcotics or mixts. of narcotics. These antiglucocorticoids would be useful in the withdrawal from morphinomimetics such as heroin, morphine or methadone as well as cocaine. Pharmacol. activity was demonstrated by the effect of the antiglucocorticoids on the stereotypic behavior of mice in response to narcotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An antiprogesterone activity of the steroids in their action mechanism was eliminated. Results confirmed the involvement of endogenous glucocorticoids in morphine withdrawal since this is inhibited by antiglucocorticoids or adrenalectomy.

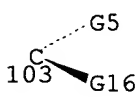
MSTR 7



G5 = 93



G9 = 103



G16 = alkoxy<(1-4)>
DER: or pharmaceutically acceptable addition salts or N-oxides
MPL: claim 17

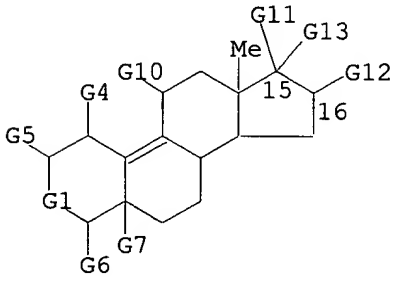
L4 ANSWER 8 OF 23 MARPAT COPYRIGHT 2003 ACS

ACCESSION NUMBER: 123:218391 MARPAT
TITLE: Steroids for reducing multidrug resistance to cancer chemotherapeutic agents
INVENTOR(S): Cohn, Suzanne Bourgeois; Gruol, Donald J.
PATENT ASSIGNEE(S): Salk Institute for Biological Studies, USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

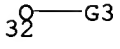
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9517192	A1	19950629	WO 1994-US14624	19941219
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9514395	A1	19950710	AU 1995-14395	19941219
PRIORITY APPLN. INFO.:			US 1993-173243	19931222
			WO 1994-US14624	19941219

AB Certain steroid-like compds. [I; R1 = H; R2 = OR; or R1R2 = :O; R = H, lower alkyl, Me3Si; R3 = H, Me, or absent if double bond or epoxide bridge joins C9 and C10; R4 = OR', C4-18 cyclic org. group contg. O, N, P, or Si; R' = lower alkyl, Me3Si; R5 = H, OR; or R5C16C17 form a 3-, 5-, 6-, or 7-membered ring; R6 = C(O)CH3, CH(OH)CH3, C(O)CH2OH, (substituted) hydrocarbyl; R9 = H, halo, or absent if double bond or epoxide bridge joins C9 and C10] are capable of inhibiting the P-glycoprotein-assocd. efflux pump which is considered responsible for multidrug resistance. Chemotherapy can be enhanced by facilitating the accumulation of drug at the target site, with reduced or eliminated competition by the drug efflux system. Thus RU 38486, an antiprogestin, at 5 .mu.M facilitated killing of multidrug-resistant S7CD-5 murine thymoma cells by 20 .mu.M puromycin.

MSTR 1B



G1 = C(O)
G3 = loweralkyl
G10 = Ph (SO (1-2) G16)
G11 = 32



32 O—G3

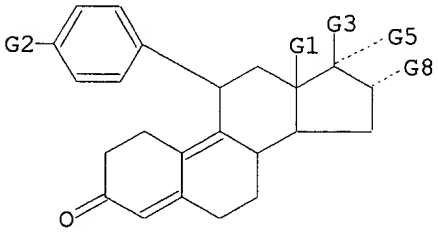
G13 = COMe
MPL: claim 1

L4 ANSWER 9 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 123:112512 MARPAT
TITLE: 11.beta.-aryl-gona-4,9-dien-3-ones
INVENTOR(S): Kasch, Helmut; Bertram, Gudrun; Ponsold, Kurt; Schubert, Gerd; Roehrig, Heidemarie; Kurischko, Anatoli; Menzenbach, Bernd
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: U.S., 12 pp. Cont. of U.S. Ser. No. 769,271, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5407928	A	19950418	US 1993-153558	19931117
US 5739125	A	19980414	US 1995-391570	19950221
PRIORITY APPLN. INFO.:			US 1990-567368	19900815
			US 1991-769271	19911001
			US 1993-153558	19931117

AB This invention relates to 11.beta.-arylgona-4,9-dienes I [R = propynyl, CH2OMe; R1 = Me, Et; R2 = alkoxy, alkylthio, NMe2, CN, CHO, Ac, CHMeOH]. The compds. are progesterone antagonists and are suitable for inducing labor or an abortion. Thus, I [R = CH2OMe, R1 = Me, R2 = Ac, II] was prepd. from 3,3-dimethoxy-17.alpha.-methoxymethylestra-5(10),9(11)-dien-17.beta.-ol by methoxylation, epoxidn., reaction with 4-AcC6H4Br ethylene ketal, and deblocking. At a total dose of 2 mg over 4 days, II was 100% effective in causing abortions in rats.

MSTR 2



G3 = COMe
G5 = 43

43 C≡C—CH2—G6

G6 = alkylcarbonyloxy<(1-5)>

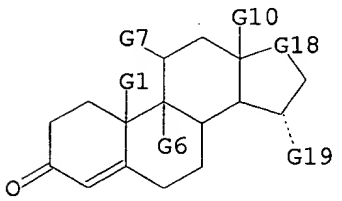
MPL: disclosure
NTE: substitution is restricted

L4 ANSWER 10 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 122:256423 MARPAT
TITLE: Antiglucocorticoid steroids for the treatment of anxiety disorders
INVENTOR(S): Peeters, Bernardus Wynand Machijs Maria
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

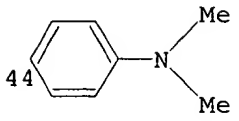
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504536	A1	19950216	WO 1994-EP2513	19940728
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9474968	A1	19950228	AU 1994-74968	19940728
AU 687088	B2	19980219		
EP 712311	A1	19960522	EP 1994-924819	19940728
EP 712311	B1	19981007		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09501172	T2	19970204	JP 1995-506200	19940728
AT 171873	E	19981015	AT 1994-924819	19940728
ES 2124905	T3	19990216	ES 1994-924819	19940728
US 5741787	A	19980421	US 1996-581631	19960118
PRIORITY APPLN. INFO.:			EP 1993-202304	19930804
			EP 1994-924819	19940728
			WO 1994-EP2513	19940728

AB Antiglucocorticoid steroids are used for the manuf. of a pharmaceutical compn. for the treatment of anxiety disorders. The anxiolytic effect of 11.beta.-(4-dimethylaminophenyl)-17.beta.-hydroxy-17.alpha.-(prop-1-ynyl)-estra-4,9-dien-3-one (RU38486) was demonstrated in animal testing (antagonism of fear-potentiated startle). Prepn. and activity (antagonism of stress-induced hyperthermia) of selected steroids of the invention is also described.

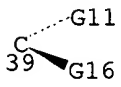
MSTR 1



G7 = 44



G11 = alkoxy<(1-6)>
G16 = alkylcarbonyl<(1-5)> (SO (1-) G17)
G18 = 39



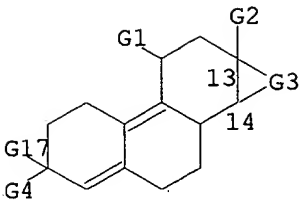
MPL: claim 2

L4 ANSWER 11 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 116:35156 MARPAT
TITLE: Preparation and use of antiprogestomimetics for synchronization of parturition in livestock
INVENTOR(S): Grandadam, Jean Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

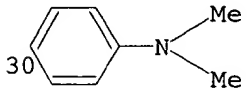
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 446124	A2	19910911	EP 1991-400594	19910305
EP 446124	A3	19920527		
R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2659233	A1	19910913	FR 1990-2783	19900306
FR 2659233	B1	19940121		
CA 2037549	AA	19910907	CA 1991-2037549	19910305
AU 9172608	A1	19910912	AU 1991-72608	19910305
AU 642975	B2	19931104		
ZA 9101603	A	19920527	ZA 1991-1603	19910305
JP 04211610	A2	19920803	JP 1991-62496	19910305
RU 2037295	C1	19950619	RU 1991-4895041	19910305
CN 1055665	A	19911030	CN 1991-102108	19910306
HU 59006	A2	19920428	HU 1991-729	19910306
PRIORITY APPLN. INFO.:			FR 1990-2783	19900306

AB The title antiprogestomimetics are I (R1 = C1-18 hydrocarbyl optionally substituted with .gtoreq.1 heteroatoms and bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = remainder of 5- and 6-membered ring optionally substituted and optionally unsatd.; C = A = CNOH, oxo (free or blocked as ketal), etc.; B and C together form a double bond or epoxide bridge) and acid addn. salts thereof. Prepn. of 2 I are described. 17.beta.-Hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one (II) was more effective at synchronizing parturition than cloprostenol when tested in sows. Injectable pharmaceuticals contg. II are disclosed.

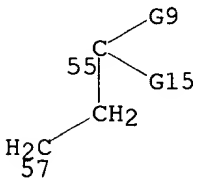
MSTR 1C



G1 = 30



G3 = 55-13 57-14



G9 = 43

43 C(O)-CH2-O-C(O)-G10

G15 = 61

61 C(O)-CH2-OH

G4 +G17= O
DER: and protected derivatives
DER: and acid addition salts
MPL: claim 1

L4 ANSWER 12 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 115:214857 MARPAT
TITLE: Injectable microspheres containing antiestrogenic and antiprogestomimetic steroids
INVENTOR(S): Cohen, Gerard; Dubois, Jean Luc
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 4036425	A1	19910516	DE 1990-4036425	19901115
FR 2654337	A1	19910517	FR 1989-14976	19891115
FR 2654337	B1	19940805		
SE 9003570	A	19910516	SE 1990-3570	19901109
BE 1005511	A4	19930831	BE 1990-1062	19901109
DK 9002709	A	19910516	DK 1990-2709	19901113
CA 2029940	AA	19910516	CA 1990-2029940	19901114
JP 03294229	A2	19911225	JP 1990-306374	19901114
CH 681691	A	19930514	CH 1990-3611	19901114
NL 9002492	A	19910603	NL 1990-2492	19901115
GB 2239798	A1	19910717	GB 1990-24862	19901115
GB 2239798	B2	19931027		
AT 9002313	A	19950415	AT 1990-2313	19901115
AT 400298	B	19951127		

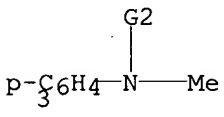
PRIORITY APPLN. INFO.: FR 1989-14976 19891115

AB Biodegradable microspheres comprise the title steroids (Markush given) and copolymers of lactic acid with glycolic acid. A mixt. of 250 mL aq. 0.3% hydrolyzed PVA soln., 1 g poly(DL-lactic acid-glycolic acid), 17 g CH2Cl2, and 0.5 g 17.beta.-hydroxy-11.beta.-[4-(dimethylamino)phenyl]-17.alpha.-(1-propynyl)estra-4,9-dien-3-one was emulsified, followed by stirring at 22.degree. and decreasing pressure (.gtoreq.400 mm Hg) to give microspheres, which were used for the prepn. of injections.

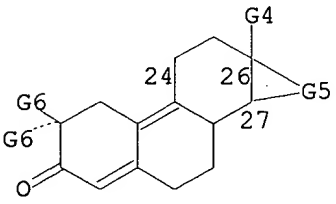
MSTR 1A

G1—G3

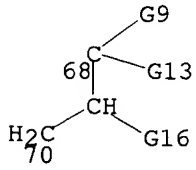
G1 = 3



G3 = 24



G5 = 68-26 70-27



G9 = 74

C(O)—CH2—G10
74

G10 = alkylcarbonyloxy<(1-8)> (SO)
G13 = 128

C(O)—CH2—G10
128

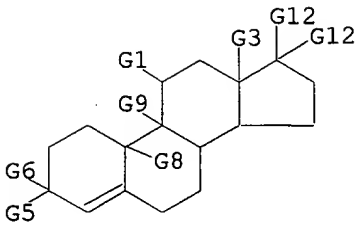
MPL: claim 6

L4 ANSWER 13 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 115:151901 MARPAT
TITLE: Use of antiprogestomimetics for stimulating ovulation, and new preparation for use in pharmaceutical compositions
INVENTOR(S): Grandadam, Jean Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 417003	A2	19910313	EP 1990-402449	19900906
EP 417003	A3	19911204		
EP 417003	B1	19940629		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE				
FR 2651435	A1	19910308	FR 1989-11699	19890907
FR 2651435	B1	19940422		
US 5173483	A	19921222	US 1990-578894	19900905
CA 2024728	AA	19910308	CA 1990-2024728	19900906
AU 9062259	A1	19910314	AU 1990-62259	19900907
AU 623805	B2	19920521		
JP 03099015	A2	19910424	JP 1990-236004	19900907
JP 3032258	B2	20000410		
PRIORITY APPLN. INFO.:			FR 1989-11699	19890907

AB Anti-progestomimetic compds., e.g. I [R1 = C1-18 hydrocarbyl with optionally .gtoreq.1 heteroatoms, bonded to the steroid by a C; R2 = C1-8 hydrocarbyl; X = rest of 5- or 6-membered (substituted) (unsatd.) ring; A:C = oxo (free or in ketal), CH(OH), CH(OR3), CH(O2CR3), etc.; R3 = C1-8 alkyl, C7-15 aralkyl; B and C together form a double bond or epoxide bridge] and their acid and base addn. salts, are used for making pharmaceuticals for stimulating ovulation, e.g. in cows. The compds. of the invention are preferably used following treatment with progesterone or a progestomimemetic, e.g. 3-oxo-17.alpha.-allyl-17.beta.-hydroxyestra-4,9,11-triene (II). Thus, heifer cows were 1st administered II for 17 days; on the day following the last administration, the animals were injected with 17.beta.-hydroxy-11.beta.-(4-dimethylaminophenyl)-17.alpha.-(prop-1-ynyl)estra-4,9-dien-3-one. All of the heifers came to heat after a very short delay period, and LH levels rose very rapidly. Prepn. of 12 anti-progestomimetics is presented.

MSTR 1E



G1 = 85

$p\text{-}C_6H_4G10$ (85)

G12 = 96

$C(O)G14$ (96)

G14 = 98

$H_2C{-}{-}G15$ (98)

G15 = alkylcarbonyloxy<(1-8)> (SO (1-) aryl)
G5 +G6 = O
DER: or acid or base addition salts
MPL: claim 2
NTE: oxo formed by G5 and G6 may be protected as a ketal

L4 ANSWER 14 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 115:9125 MARPAT
TITLE: Preparation of .omega.-[(3-oxoestra-4,9-dien-11.beta.-yl)phenylamino]alkanoates as antiglucocorticoids
INVENTOR(S): Moguilewsky, Martine; Nedelec, Lucien; Nique, Francois; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 414606	A2	19910227	EP 1990-402328	19900822
EP 414606	A3	19910724		
EP 414606	B1	19941102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2651233	A1	19910301	FR 1989-11173	19890823
FR 2651233	B1	19911213		
CA 2022648	AA	19910224	CA 1990-2022648	19900803

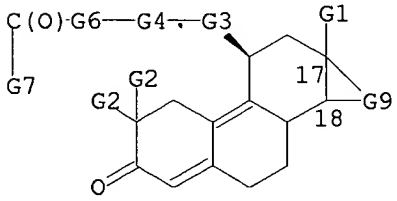
ZA 9006341	A	19911030	ZA 1990-6341	19900810
US 5166146	A	19921124	US 1990-568597	19900816
JP 03090097	A2	19910416	JP 1990-217281	19900820
JP 3026997	B2	20000327		
IL 95451	A1	19950731	IL 1990-95451	19900821
AU 9061189	A1	19910228	AU 1990-61189	19900822
AU 634569	B2	19930225		
HU 54706	A2	19910328	HU 1990-5275	19900822
HU 208154	B	19930830		
ES 2063313	T3	19950101	ES 1990-402328	19900822
CN 1051362	A	19910515	CN 1990-107161	19900823
CN 1033808	B	19970115		
RU 2041236	C1	19950809	RU 1992-5011511	19920518

PRIORITY APPLN. INFO.: FR 1989-11173 19890823

OTHER SOURCE(S): CASREACT 115:9125

AB The title compds. [I; R1 = aliph. hydrocarbyl; R2 = H, (un)substituted alkyl; R5, R6 = H, alkyl; X = atoms to complete an (un)substituted 5- or 6- membered ring; Z = (un)salified CO2H; n = 1-6] were prepd. Thus, aminophenylestradienone II (R = R5 = R6 = H) was condensed with BrCH2CO2Me to give, after sapon., II (R = CH2CO2Na, R5 = R6 = H) which at 10-6M in vitro gave 82% inhibition of uridine incorporation into rat thymocytes.

MSTR 1A



G3 = phenylene
G9 = 39-18 37-17

$_{37}$G16—G10—$_{39}$CH2

G10 = (1-2) 45

G11—$_{45}$C——G12

G13 = 53 / 56

$_{53}$C(O)-CH2—OH $_{56}$C(O)-CH2—O——C(O)-G14

G16 = 68

G13—$_{68}$C——G13

MPL: claim 1

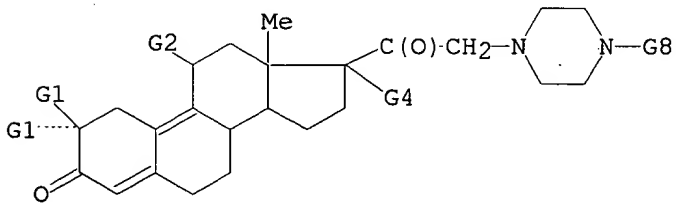
L4 ANSWER 15 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 114:229227 MARPAT
TITLE: Preparation of 19-nor 3-oxo steroids with an amine substituted 17-chain as antioxidants and antinflammatories: their use as medicines and pharmaceutical composition containing them
INVENTOR(S): Claussner, Andre; Leclaire, Jacques; Nedelec, Lucien; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 389370	A1	19900926	EP 1990-400784	19900322
EP 389370	B1	19940427		
R: CH, DE, FR, GB, IT, LI, NL				
FR 2644789	A1	19900928	FR 1989-3742	19890322
FR 2644789	B1	19950203		
JP 02273693	A2	19901108	JP 1990-68508	19900320
JP 2848907	B2	19990120		
US 5108996	A	19920428	US 1990-497562	19900321
PRIORITY APPLN. INFO.:			FR 1989-3742	19890322

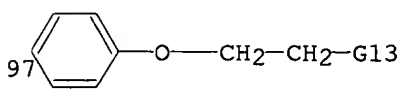
OTHER SOURCE(S): CASREACT 114:229227

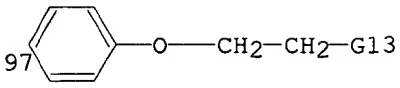
AB The title compds. [I; R1, R2 = H, Me; R11 = (poly)(hetera)hydrocarbyl; one of R17 and R18 is OH or acyloxy and the other is Q; Z = alkylene, alkenylene, alkynylene; P = (substituted) pyrimidinyl, pyridyl] were prepd. via reacting the halo derivs. II or III (X = halo) with the appropriate pyrimidinyl or pyridine deriv. IV. Reaction of estradienone V [R3 = 3-bromo-1-propynyl, R4 = OH] (prepn. given) was reacted with 2,4-bis(1-pyrrolidinyl)-6-(1-piperazinyl)pyrimidine (prepn. given) in acetone contg. K2CO3 at ambient temp. for 2 h to give V [R3 = 3-[4-[2,6-bis(1-pyrrolidinyl)-4-pyrimidinyl]-1-piperazinyl]-1-propynyl; R4 = OH]. At 5 .times. 10-4 M this inhibited in vitro the formation of malonyldialdehyde, a measure of lipid peroxidn., in rat brain homogeneate by .apprx.47.5%.

MSTR 1C

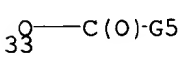


G2 = 97





G4 = 33



DER: and salts
MPL: claim 1
NTE: the alkylamino and dialkylamino groups in G11 may be interrupted by oxygen, sulfur, or nitrogen

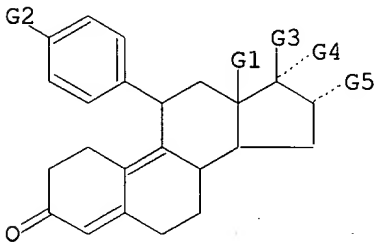
L4 ANSWER 16 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 114:229226 MARPAT
TITLE: 11.beta.-Arylgona-4,9-dien-3-ones
INVENTOR(S): Kasch, Helmut; Bertram, Gudrun; Ponsold, Kurt; Schubert, Gerd; Roehrig, Heidemarie; Kurischko, Anatoli; Menzenbach, Bernd
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 411733	A2	19910206	EP 1990-250199	19900806
EP 411733	A3	19920122		
EP 411733	B1	19981021		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DD 290893	A5	19910613	DD 1989-331479	19890804
DD 289537	A5	19910502	DD 1989-331818	19890816
DD 299068	A5	19920326	DD 1989-333409	19891009
WO 9101958	A2	19910221	WO 1990-DE614	19900806
WO 9101958	A3	19911212		
W: JP				
JP 05504759	T2	19930722	JP 1990-511174	19900806
JP 3202224	B2	20010827		
AT 172469	E	19981115	AT 1990-250199	19900806
ES 2127181	T3	19990416	ES 1990-250199	19900806
PRIORITY APPLN. INFO.:			DD 1989-331479	19890804
			DD 1989-331818	19890816
			DD 1989-333409	19891009
			WO 1990-DE614	19900806

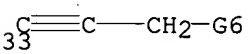
OTHER SOURCE(S): CASREACT 114:229226
AB Arylgonadienones I [R = alkoxy, alkylthio, NMe2, NHMe, cyano, CHO, Ac, CHMeOH; R1 = Me, Et; R2 = OH, Me, Et, CHO, Ac, cyano, OSiMe2CMe3, alkoxyalkyl, acyloxyethoxy, alkoxymethoxy, acyloxy, alkoxy; R3 = C.tplbond.CH, C.tplbond.CMe, C.tplbond.CCH2OH, 3-acyloxyl-1-propynyl, 3-acyloxy-1-propenyl; 3-acyloxypropyl, CH:CHCH2OH, (CH2)3OH; R4 = H, alkyl; R3R4 = CH2, (CH2)4] were prepd. by treating gonanols II with an acid. Thus, II (R = 2-methyl=1,3-dioxolan-2-yl, R1 = Me, R2 = OMe, R3 = C.tplbond.CH, R4 = R7 = H, R5R6 = CH2CH2) was prepd. from

3,3-dimethoxy-17.alpha.-ethynyl-13-methylgon-5(10)-en-3-one in 6 steps via reaction with 2-methyl-1,3-dioxolan-2-ylmagnesium bromide and was treated with 70% aq. AcOH to give I (R = Ac, R1 = Me, R2 = OMe, R3 = C.tplbond.CH, R4 = H, III). At 2 mg/day for 4 days in rats III gave 100% contraception.

MSTR 1B



G3 = COMe
G4 = 33



G6 = alkoxy<(1-4)>
MPL: claim 1

L4 ANSWER 17 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 113:115677 MARPAT
TITLE: Preparation of androstanone derivatives as drugs
INVENTOR(S): Scholz, Stefan; Neef, Guenter; Ottow, Eckhard; Elger, Walter; Beier, Sybille; Chwalisz, Krzysztof
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

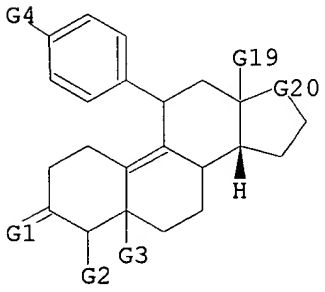
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 360369	A1	19900328	EP 1989-250040	19890920
EP 360369	B1	19950503		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3832303	A1	19900412	DE 1988-3832303	19880920
IL 91672	A1	19941229	IL 1989-91672	19890918
WO 9003385	A1	19900405	WO 1989-EP1090	19890920
W: AU, DK, FI, HU, JP, NO, US				
AU 8943049	A1	19900418	AU 1989-43049	19890920
AU 640616	B2	19930902		
ZA 8907191	A	19901031	ZA 1989-7191	19890920
DD 284682	A5	19901121	DD 1989-332836	19890920
HU 56851	A2	19911028	HU 1989-5541	19890920
HU 208151	B	19930830		
JP 04501712	T2	19920326	JP 1989-509963	19890920
JP 2760870	B2	19980604		

AT 122052	E	19950515	AT 1989-250040	19890920
ES 2074073	T3	19950901	ES 1989-250040	19890920
NO 9101102	A	19910319	NO 1991-1102	19910319
DK 9100504	A	19910320	DK 1991-504	19910320
US 5244886	A	19930914	US 1991-663819	19910320
NO 9104772	A	19910319	NO 1991-4772	19911204
PRIORITY APPLN. INFO.:			DE 1988-3832303	19880920
			WO 1989-EP1090	19890920
			NO 1991-1102	19910319

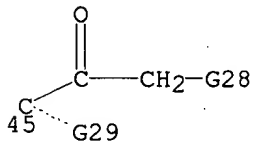
OTHER SOURCE(S): CASREACT 113:115677

AB The title compds. [I; Z = O, hydroxyimino; LM = bond, or L = H and M = .alpha.-OH; AB = bond and D = H and R1 = heteroaryl; or A = H and BD = CH2 and Z = H2; R3, R4 = tetrahydropyranyloxyalkyl, tetrahydropyranyloxyalkynyl, etc.], useful as antiglucocorticoids, neoplasm inhibitors (esp. for breast cancer), progestogen inhibitors, and antiproliferative agents, were prepd. 3-(Tetrahydropyran-2-yloxy)-1-propyne was lithiated with BuLi in THF-hexane and the product treated with 14.beta.-androstan-17-one II (R3R4 = O) (prepn. given) to give II (R3 = O, R4 = OH) treated with 4N HCl to give I [R1 = OMe, R2 = Me, R3 = (CH2)3OH, BD = CH2, LM = bond, Z = O, A = H] (III). III had higher affinity for the gestagen receptor than the known EP-A 0277676 [11.beta.-[4-(dimethylamino)phenyl]-=17.alpha.=hydroxy-17-(3-hydroxypropyl)-14.beta.-estra-4,9-dien-3-one].

MSTR 1A



G1 = O
G20 = 45



G29 = OCHO
MPL: claim 1

L4 ANSWER 18 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 112:235680 MARPAT
TITLE: Preparation of 13-alkyl-11.beta.-phenylgonanes as antigestagens and antiglucocorticoids
INVENTOR(S): Scholz, Stefan; Ottow, Eckhard; Neef, Guenter; Elger, Walter; Beier, Sybille; Chwalisz, Krzysztof
PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

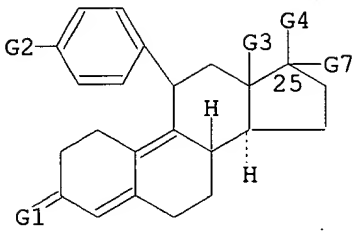
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3822770	A1	19900104	DE 1988-3822770	19880701
IL 90826	A1	19940624	IL 1989-90826	19890630
CA 1334668	A1	19950307	CA 1989-604596	19890630
EP 349481	A1	19900103	EP 1989-730155	19890703
EP 349481	B1	19951102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
WO 9000174	A1	19900111	WO 1989-DE443	19890703
W: AU, FI, HU, JP, NO				
AU 8938568	A1	19900123	AU 1989-38568	19890703
AU 644060	B2	19931202		
ZA 8905058	A	19900425	ZA 1989-5058	19890703
DD 287511	A5	19910228	DD 1989-330342	19890703
HU 56114	A2	19910729	HU 1989-4130	19890703
HU 208021	B	19930728		
DD 295638	A5	19911107	DD 1989-341722	19890703
JP 03505727	T2	19911212	JP 1989-507188	19890703
JP 2956776	B2	19991004		
US 5273971	A	19931228	US 1989-374809	19890703
AT 129717	E	19951115	AT 1989-730155	19890703
ES 2080079	T3	19960201	ES 1989-730155	19890703
NO 9005609	A	19910228	NO 1990-5609	19901227
NO 180451	B	19970113		
NO 180451	C	19970423		
US 5446036	A	19950829	US 1993-144474	19931102
FI 9504856	A	19951012	FI 1995-4856	19951012
NO 9600829	A	19910228	NO 1996-829	19960229

PRIORITY APPLN. INFO.:

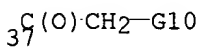
DE 1988-3822770	19880701
US 1989-374809	19890703
WO 1989-DE443	19890703
NO 1990-5609	19901227
FI 1990-6441	19901228

AB The title compds. [I; R1 = heterocyclyl, cycylalkyl, cycloalkenyl, alkenyl, etc.; R2 = .alpha.-, .beta.-Me, -Et; R3,R4 = alkoxy, acyl, oxofuryl, alkynyl, etc.; Z = O, NOH], antigestagens and antiglucocorticoids useful for induction of abortion, were prepd. via Grignard reaction of the corresponding 5.alpha.,10.alpha.-epoxy-9(11) unsatd. steroids with p-R1C6H4X (X = halo). Grignard reaction of epoxy steroid II (prepn. given) with p-CH2:CHC6H4X (X = Br, iodo) gave I [R1 = CH2:CH, R2 = .beta.-Me, R3 = OH, R4 = C.tplbond.CMe, Z = OCH2CMe2CH2O], which was hydrolyzed to give I [Z = O, R1-R4 same as above]. This at 3.0 mg s.c./day induced abortion in 100% of rats tested.

MSTR 1A



G1 = O
G4 = 37



G7 = 32

G8 = CHO
MPL: claim 1
NTE: substitution is restricted

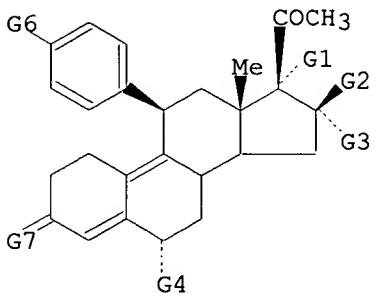
L4 ANSWER 19 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 112:198892 MARPAT
TITLE: Preparation of 11.beta.-aryl-19-norsteroids as antiglucocorticoids, progestogens, and antiprogestogens
INVENTOR(S): Cook, C. Edgar; Wani, Mansukh C.; Lee, Yue Wei; Reel, Jerry R.; Rector, Douglas
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8912448	A1	19891228	WO 1989-US2706	19890623
W: AU, DK, JP, KR, NO				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4954490	A	19900904	US 1988-210503	19880623
CA 1338906	A1	19970211	CA 1989-603686	19890622
AU 8938506	A1	19900112	AU 1989-38506	19890623
AU 635211	B2	19930318		
EP 422100	A1	19910417	EP 1989-907924	19890623
EP 422100	B1	19970312		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03505582	T2	19911205	JP 1989-507392	19890623
JP 2953725	B2	19990927		
AT 149839	E	19970315	AT 1989-907924	19890623
US 5073548	A	19911217	US 1990-504129	19900403
NO 9005546	A	19901221	NO 1990-5546	19901221

NO 178264	B	19951113		
NO 178264	C	19960221		
DK 9003053	A	19901221	DK 1990-3053	19901221
PRIORITY APPLN. INFO.:			US 1988-210503	19880623
			WO 1989-US2706	19890623

AB The title compds. [I; R1 = H, alkyl, alkenyl, etc.; R2 = H, R3 = H, alkyl, alkenyl, alkynyl; R4 = H, Me, F, Cl; R6 = H, Me2N, MeO, MeCO, MeS, etc.; X = O, MeON; or R1R2 = bond; or R1R3 = CH2, N:NCH2; or R2R3 = CH2] were prepd. Grignard reaction of 5.alpha.,6.alpha.-epoxy-6.alpha.-methyl-3,3:20,20-bis(ethylenedioxy)-19-norpregn-9(11)-en-17.alpha.-ol (prepn. given) with p-Me2NC6H4MgBr followed by 17-O-acetylation and deketalization gave I [R1 = AcO, R2 = R3 = H, R4 = Me, R6 = Me2N, X = O]. The binding affinity of I for progesterone receptor in cytosol obtained from estrogen-primed immature rabbit uterus was 8-80% that of progesterone. Several I had glucocorticoid receptor binding affinities up to 2.5-fold that of dexamethasone, and one compd. had in vivo antiprogestational activity comparable to that of RU-486.

MSTR 1A



G1 = OCOMe
G7 = O
MPL: claim 1

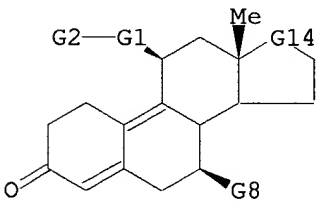
L4 ANSWER 20 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 111:233356 MARPAT
TITLE: New 11-aryl steroids useful as antiprogestins, their preparation, and pharmaceuticals containing them
INVENTOR(S): De Jongh, Hendrik Paul; Van Vliet, Nicolaas Pieter
PATENT ASSIGNEE(S): AKZO N. V., Neth.
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 321010	A1	19890621	EP 1988-202678	19881125
EP 321010	B1	19930203		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 85342	E	19930215	AT 1988-202678	19881125
ES 2053714	T3	19940801	ES 1988-202678	19881125
ZA 8808996	A	19890830	ZA 1988-8996	19881130
AU 8826469	A1	19890615	AU 1988-26469	19881201

AU 613433	B2	19910801		
US 4921845	A	19900501	US 1988-281582	19881208
CA 1301162	A1	19920519	CA 1988-585297	19881208
DK 8806880	A	19890613	DK 1988-6880	19881209
DK 168444	B1	19940328		
FI 8805717	A	19890613	FI 1988-5717	19881209
FI 89056	B	19930430		
FI 89056	C	19930810		
KR 9709592	B1	19970614	KR 1988-16480	19881210
CN 1034731	A	19890816	CN 1988-108484	19881212
CN 1019807	B	19921230		
JP 01211597	A2	19890824	JP 1988-313643	19881212
PRIORITY APPLN. INFO.:			NL 1987-3008	19871212
			EP 1988-202678	19881125

AB Aryl steroids I [R1 = aryl substituted by -NXY; X, Y = H, C1-4 hydrocarbyl; or XY = C2-6 hydrocarbyl forming 3- to 7-membered ring; R2 = H, OH, acyloxy, alkoxy, (un)satd. C1-8 hydrocarbyl with .gtoreq.1 OH, oxo, N3, cyano, and/or halo group; R3 = OH, acyloxy, alkoxy, or acyl optionally substituted by OH, alkoxy, acyloxy, or halo; or R2R3 forms ring; R2 .noteq. H or OH when R3 = OH; R4 = Me, Et], which are strong antiprogestins with little or no antiglucocorticoid activity (no data), are prepd. Thus, 7.beta.-methylestr-5-(10)-ene-3,17-dione 3,3-di-Me acetal underwent NaBH4 redn., deketalization, bromination/dehydrobromination, reketalization, and epoxidn., to give 5.alpha., 10.alpha.-epoxy-17.beta.-hydroxy-7.beta.-methylester-9(11)-en-3-one 3,3-ethylene acetal. This underwent CuCl-catalyzed coupling with p-(Me2N)C6H4MgBr, Oppenauer oxidn. of 17-OH, alkynylation with THP-OCH2C.tplbond.CMgBr (THP = tetrahydropyranyl), and deprotection, to give (dimethylaminophenyl)hydroxy(hydroxypropynyl)methylestradienone II.

MSTR 1



G1 = phenylene
G5 = 31

$_{31}$O—C(O)—G11

G6 = 31 / 35

$_{31}$O—C(O)—G11 $_{35}$C(O)—G12

G10 = 31

$_{31}$O—C(O)—G11

G12 = Ak (SO (1-) G10)
G14 = 42

MPL: claim 1

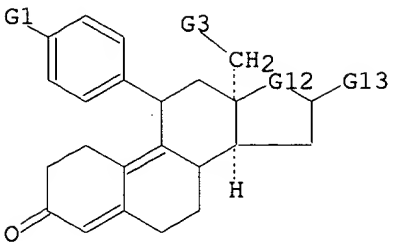
L4 ANSWER 21 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 110:213172 MARPAT
TITLE: 13(Alpha)-alkylgonanes, their production, and pharmaceutical preparations containing same
INVENTOR(S): Neef, Guenter; Wiechert, Rudolf; Beier, Sybille; Elger, Walter; Henderson, David
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: U.S., 5 pp. Cont. of U.S. Ser. No. 621,308. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4780461	A	19881025	US 1985-810148	19851218
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
DE 3446661	A1	19860619	DE 1984-3446661	19841218
PRIORITY APPLN. INFO.:			DE 1983-3321826	19830615
			DE 1984-3413036	19840404
			US 1984-621308	19840615
			DE 1984-3446661	19841218

OTHER SOURCE(S): CASREACT 110:213172

AB 13.alpha.-Alkylgonanes [I; R = C1-4 acyl; X = O, NOH; II; R1 = amino; R2 = H, Me, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4 = Q; R5 = H, alkyl; III; Z = CH2CH2, CH2CMe2CH2], having antigestagenic activity and useful as postcoital contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was formulated contg. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.

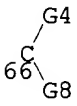
MSTR 2



G4 = 59

59 C(O)-CH2-G11

G8 = alkoxy<(1-4)>
G12 = 66



GGA = 33 <RC (1), RS (1) M5 (1) X6, EC (0-) O (1-) N (0-) S (0)
OTHERQ, AN (1) N, BD (ALL) SE>
DER: and acid addition salts
MPL: claim 18

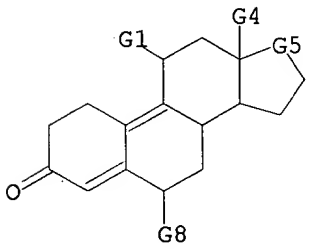
L4 ANSWER 22 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 110:95624 MARPAT
TITLE: Preparation of novel 11-arylestrane and 11-arylpregnane derivatives as antiprogestins with low or no antiglucocorticoid activity
INVENTOR(S): Groen, Marinus Bernard; De Jongh, Hendrik Paul
PATENT ASSIGNEE(S): AKZO N. V., Neth.
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 289073	A1	19881102	EP 1988-200689	19880412
EP 289073	B1	19911127		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 69820	E	19911215	AT 1988-200689	19880412
ES 2045082	T3	19940116	ES 1988-200689	19880412
ZA 8802643	A	19881130	ZA 1988-2643	19880414
FI 8801826	A	19881025	FI 1988-1826	19880419
FI 88396	B	19930129		
FI 88396	C	19930510		
US 4871724	A	19891003	US 1988-183851	19880420
CA 1297472	A1	19920317	CA 1988-564606	19880420
DK 8802218	A	19881025	DK 1988-2218	19880422

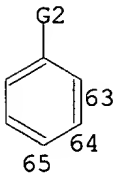
DK 168294	B1	19940307		
AU 8815072	A1	19881027	AU 1988-15072	19880422
AU 608831	B2	19910418		
JP 63280097	A2	19881117	JP 1988-100010	19880422
CN 88102416	A	19881214	CN 1988-102416	19880423
CN 1019978	B	19930303		
KR 9705318	B1	19970415	KR 1988-4653	19880423
PRIORITY APPLN. INFO.:			NL 1987-970	19870424
			EP 1988-200689	19880412

AB The title compds. [I; R1 = aminoaryl; R2 = C1-4 alkyl; R3 = H, OH, substituted (unsatd.) C1-8 hydrocarbyl; R4 = OH, acyloxy, substituted acyl; R3R4 = atoms to complete a ring; R5 = C1-4 hydrocarbyl] useful as antiprogestins (no data) were prepd. 5.alpha.,6.alpha.-Epoxy-11.beta.-hydroxyestrane-3,17-dione-3,17-diethylene acetal (prepn. given) was treated with MeMgCl in PhMe/THF and the product was dehydrated with POCl3/pyridine to give 6-.beta.-methylestra-5(10),9(11)-diene-3,17-dione-3,17-diethylene acetal. The latter was converted in several steps to 11.beta.-[4-(dimethylamino)phenyl]-17.beta.-hydroxy-17.alpha.-(3-hydroxy-1-propynyl)-6.beta.-methylestra-4,9-diene-3-one.

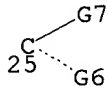
MSTR 1



G1 = 63 / 64 / 65



G5 = 25



G6 = alkylcarbonyloxy (SR (1-) G12)
G7 = alkylcarbonyl (SO (1-) G10)
GGA = 69 <(1-7)>
MPL: claim 1

L4 ANSWER 23 OF 23 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 109:170799 MARPAT
TITLE: Antiprogestinic 11.beta.-aryl-14.beta.-estra-4,9-dien-

3-one derivatives, a process for their preparation, and pharmaceuticals containing them

INVENTOR(S): Loozen, Hubert Jan Jozef
PATENT ASSIGNEE(S): AKZO N. V., Neth.

SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

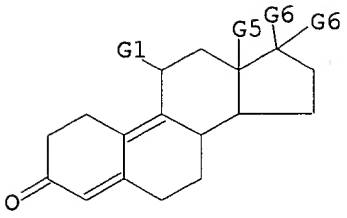
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 277676	A1	19880810	EP 1988-200071	19880118
EP 277676	B1	19920304		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
CA 1339570	A1	19971209	CA 1988-556625	19880115
ZA 8800317	A	19880928	ZA 1988-317	19880118
AT 73137	E	19920315	AT 1988-200071	19880118
ES 2031991	T3	19930101	ES 1988-200071	19880118
FI 8800257	A	19880724	FI 1988-257	19880121
FI 89054	B	19930430		
FI 89054	C	19930810		
AU 8810669	A1	19880728	AU 1988-10669	19880121
AU 603637	B2	19901122		
DK 8800304	A	19880724	DK 1988-304	19880122
DK 163307	B	19920217		
DK 163307	C	19920706		
CN 88100979	A	19880817	CN 1988-100979	19880122
CN 1030081	B	19951018		
JP 63216895	A2	19880909	JP 1988-12431	19880122
US 5272140	A	19931221	US 1990-488391	19900227

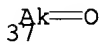
PRIORITY APPLN. INFO.: NL 1987-157 19870123
EP 1988-200071 19880118
US 1988-146895 19880122

AB Title steroids I [R1 = monosubstituted homo- or heterocyclic aryl; R2 = C1-4 alkyl; R3, R4 = H, OH, C1-18 acyloxy, C2-8 alkoxyalkyl, C1-8 acyl, C1-12 alkoxy, (un)satd. (un)substituted C1-8 hydrocarbyl; R3R4 = C1-6 alkylidene, or atoms needed to form ring; .DELTA.16 optionally present, with R3 or R4 absent], having strong antiprogestinic activity, are prepd. Estrone 3-Me ether was brominated, dehydrobrominated, and hydrogenated to give the isomeric 14.beta.-estrone 3-Me ether. This underwent NaBH4 redn., Birch redn., hydrolysis, and bromination-dehydrobromination to give 17.alpha.-hydroxy-14.beta.-estra-4,9-dien-3-one. The latter was ketalized at the 3-position, oxidized to the 17-one, alkynylated at the 17-position by the tetrahydropyranyl ether of propargyl alc., epoxidized to the 5.alpha.,10.alpha.-epoxide, coupled with 4-(Me2N)C6H4MgBr in the presence of CuCl, hydrogenated in the side chain, hydrolyzed and dehydrated, and cyclized in the sidechain by tosylation in pyridine to give (dimethylaminophenyl)dihydrospiro(estradienefuran)one II. At 1 mg orally, twice daily in pregnant rats on days 6-10, II caused 100% pregnancy interception, but only slightly reversed dexamethasone-induced thymus wt. redn. in rats.

MSTR 1B



G1 = biphenylyl (SR)
G6 = 37 / alkyl<(1-4)> (SR (1-) alkoxy<(1-4)>)



GGA = 27 31 <(1-10)>
GGA = 37 <(1-8)>
MPL: claim 1

=>

=> d ibib ab hitstr 1-10

2/24/03

L4 ANSWER 1 OF 10 USPATFULL
ACCESSION NUMBER: 2002:301659 USPATFULL
TITLE: Implantation rates after in vitro fertilization, and treatment of infertility and early pregnancy loss with a nitric oxide donor or substrate alone or in combination with progesterone, and a method for contraception with nitric oxide inhibitors in combination with antiprogestins or other agents
INVENTOR(S): Chwalisz, Krzysztof, Berlin, GERMANY, FEDERAL REPUBLIC OF
Garfield, Robert E., Friendswood, TX, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002169205	A1	20021114
APPLICATION INFO.:	US 2002-43232	A1	20020114 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 1998-162446, filed on 29 Sep 1998, PENDING
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201
NUMBER OF CLAIMS: 47
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Page(s)
LINE COUNT: 790
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method is provided for the improvement of implantation rates and/or pregnancy rates in a female mammal, comprising administering to a female mammal in whom pregnancy is desired an effective amount of

(a) a nitric oxide synthase substrate, a nitric oxide donor, or both, optionally in combination with

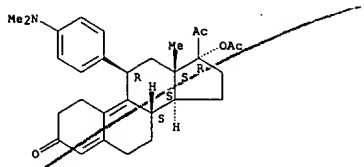
(b) a progestin, and,

(c) optionally, in further combination with an estrogen. A method is also provided for fertility control for a female mammal, comprising administering to a female mammal in whom pregnancy is not desired and at risk for becoming pregnant an effective amount of nitric oxide synthase inhibitor in combination with an antiprogestin. Pharmaceutical compositions are also provided.

IT **126784-99-4**, CDB 2914
(antiprogestin; method for contraception with nitric oxide inhibitors in combination with antiprogestins or other agents)
RN 126784-99-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 10 USPATFULL (Continued)



L4 ANSWER 2 OF 10 USPATFULL
ACCESSION NUMBER: 2002:43584 USPATFULL
TITLE: 21-SUBSTITUTED PROGESTERONE DERIVATIVES AS NEW ANTIPROGESTATIONAL AGENTS
INVENTOR(S): KIM, HYUN K., BETHESDA, MD, UNITED STATES
BLYE, RICHARD P., HIGHLAND, MD, UNITED STATES
RAO, PEMMARAJU N., SAN ANTONIO, TX, UNITED STATES
CESSAC, JAMES W., SAN ANTONIO, TX, UNITED STATES
ACOSTA, CARMIE K., SAN ANTONIO, TX, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002025951	A1	20020228
APPLICATION INFO.:	US 1999-180132	A1	19990524 (9)
	WO 1997-US7373		19970430

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: EUGENIA GARRETT WACKOWSKI, TOWNSEND AND TOWNSEND AND CREW, TWO EMBARCADERO CENTER, 8TH FLOOR, SAN FRANCISCO, CA, 94111
NUMBER OF CLAIMS: 36
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 2185
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound having the general formula: ##STR1##

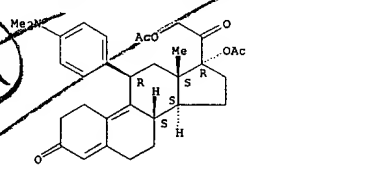
in which: R.sup.1 is a member selected from the group consisting of --OCH.sub.3, --SCH.sub.3, --N(CH.sub.3).sub.2, --CHCH.sub.3, --CHO, --COCH.sub.3 and --CHOHCH.sub.3; R.sup.2 is a member selected from the group consisting of halogen, alkyl, acyl, hydroxy, alkoxy, acyloxy, alkyl carbonate, cypionyloxy, O-alkyl and S-acyl; R.sup.3 is a member selected from the group consisting of alkyl, hydroxy, alkoxy and acyloxy; R.sup.4 is a member selected from the group consisting of hydrogen and alkyl; and X is a member selected from the group consisting of .sub..dbd.O and .sub..dbd.N--OR.sup.5 , wherein R.sup.5 is a member selected from the group consisting of hydrogen and alkyl.

In addition to providing the compounds of Formula I, the present invention provides methods wherein the compounds of Formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception.

IT **198414-07-2P 198414-31-2P**
(prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-07-2 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

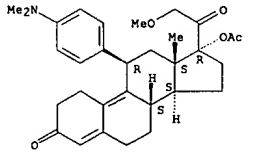
Absolute stereochemistry.

L4 ANSWER 2 OF 10 USPATFULL (Continued)



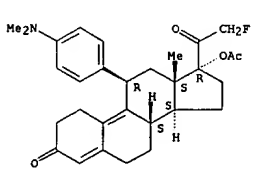
RN 198414-31-2 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



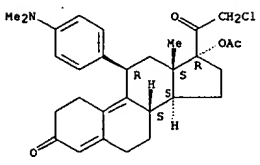
IT **198414-03-8P 198414-05-0P 198414-11-8P**
198414-22-1P 198414-33-4P 198414-34-5P
198414-39-0P 198414-43-6P
(prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-03-8 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



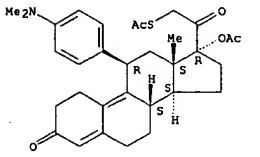
RN 198414-05-0 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 10 USPATFULL (Continued)
Absolute stereochemistry.



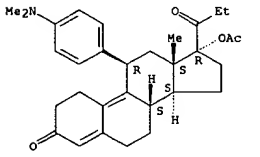
RN 198414-11-8 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-22-1 USPATFULL
CN Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

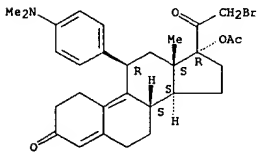


RN 198414-33-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX

L4 ANSWER 2 OF 10 USPATFULL (Continued)

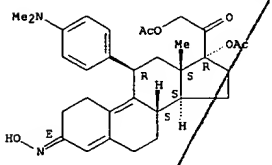
RN 198414-43-6 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **198414-40-3P 198414-41-4P**
(prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-40-3 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

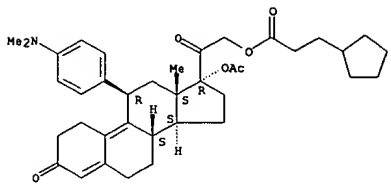


RN 198414-41-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

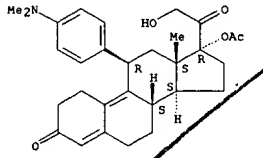
L4 ANSWER 2 OF 10 USPATFULL (Continued)
NAME)

Absolute stereochemistry.



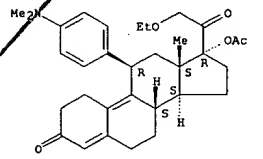
RN 198414-34-5 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

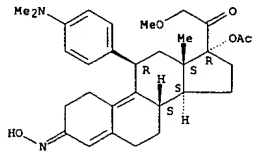


RN 198414-39-0 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 10 USPATFULL (Continued)



L4 ANSWER 3 OF 10 USPATFULL

ACCESSION NUMBER: 2000:34586 USPATFULL
TITLE: Implantation rates after in vitro fertilization, treatment of infertility and early pregnancy loss with a nitric oxide donor alone or in combination with progesterone, and a method for contraception with nitric oxide inhibitors
INVENTOR(S): Chwalisz, Krzysztof, Berlin, Germany, Federal Republic of
Garfield, Robert E., Friendswood, TX, United States
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Berlin, Germany, Federal Republic of (non-U.S. corporation)
The Board of Regents, Univ. of Texas System, Austin, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6040340		20000321
APPLICATION INFO.:	US 1996-646518		19960507 (8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: MacMillan, Keith D.
LEGAL REPRESENTATIVE: Millen, White, Zelano & Branigan, P.C.
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 756
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method is provided for the improvement of implantation rates and/or pregnancy rates in a female mammal, comprising administering to a female mammal in whom pregnancy is desired an effective amount of

(a) a nitric oxide synthase substrate, a nitric oxide donor, or both, optionally in combination with

(b) a progestin, and,

(c) optionally, in further combination with an estrogen.

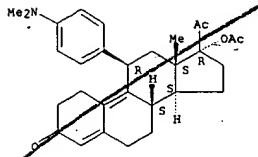
A method is also provided for fertility control for a female mammal, comprising administering to a female mammal in whom pregnancy is not desired and at risk for becoming pregnant an effective amount of nitric oxide synthase inhibitor in combination with an antiprogestin. Pharmaceutical compositions are also provided.

IT **126784-99-4**, CDB2914
(fertility control using a nitric oxide synthase inhibitor in combination with an antiprogestin)

RN 126784-99-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 10 USPATFULL (Continued)



L4 ANSWER 4 OF 10 USPATFULL

ACCESSION NUMBER: 2000:12791 USPATFULL
TITLE: 20-keto-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
INVENTOR(S): Cook, C. Edgar, Staunton, VA, United States
Kepler, John A., Raleigh, NC, United States
Zhang, Ping-sheng, Millbrae, CA, United States
Lee, Yue-wei, Chapel Hill, NC, United States
Tallent, C. Ray, Raleigh, NC, United States
PATENT ASSIGNEE(S): Research Triangle Institute, Research Triangle Park, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6020328		20000201
APPLICATION INFO.:	US 1998-35949		19980306 (9)

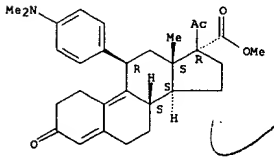
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dees, Jose' G.
ASSISTANT EXAMINER: Badio, Barbara
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 5 Drawing Figure(s); 10 Drawing Page(s)
LINE COUNT: 2399
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention is directed to 20-keto-11.beta.-arylsteroids of formula I: ##STR1## wherein R.sup.1, R.sup.6, R.sup.7, R.sup.9, R.sup.12 and X are as defined by the specification. The compounds exhibit progestational and antiprogestational activities.

IT **240805-94-1P 240805-96-3P 240805-97-4P**
240805-98-5P 240805-99-6P 240806-00-2P
240806-03-5P 240806-04-6P 240806-06-8P
240806-09-1P 240806-11-5P 240806-12-6P
240806-49-9P
(prepn. of 20-keto-11.beta.-arylsteroids with antiprogestational activity)

RN 240805-94-1 USPATFULL
CN 19-Norpregna-4,9-diene-17-carboxylic acid, 11-[4-(dimethylamino)phenyl]-3,20-dioxo-, methyl ester, (11.beta.)- (9CI) (CA INDEX NAME)

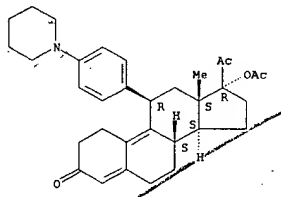
Absolute stereochemistry.



RN 240805-96-3 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

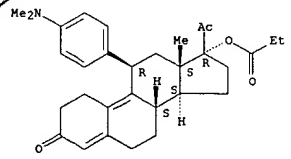
Absolute stereochemistry.

L4 ANSWER 4 OF 10 USPATFULL (Continued)



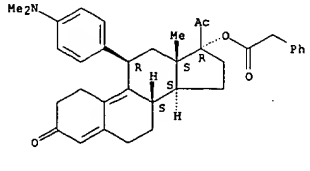
RN 240805-97-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240805-98-5 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-[(phenylacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

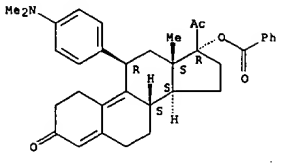
Absolute stereochemistry.



RN 240805-99-6 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(benzoyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

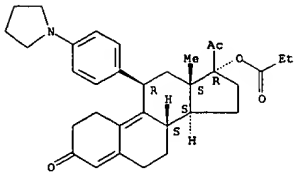
Absolute stereochemistry.

L4 ANSWER 4 OF 10 USPATFULL (Continued)



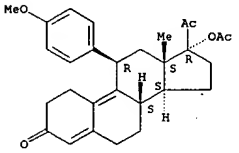
RN 240806-00-2 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(1-oxopropoxy)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240806-03-5 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

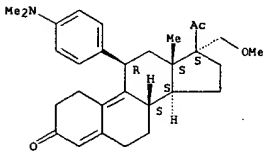
Absolute stereochemistry.



RN 240806-04-6 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

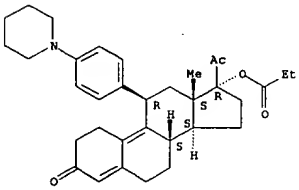
L4 ANSWER 4 OF 10 USPATFULL (Continued)
RN 240806-11-5 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(methoxymethyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



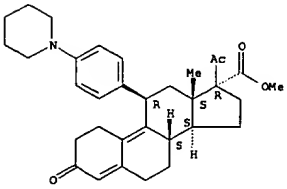
RN 240806-12-6 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(1-oxopropoxy)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

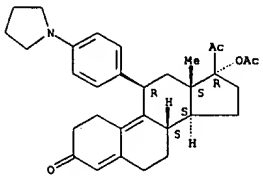


RN 240806-49-9 USPATFULL
CN 19-Norpregna-4,9-diene-17-carboxylic acid, 3,20-dioxo-11-[4-(1-piperidinyl)phenyl]-, methyl ester, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

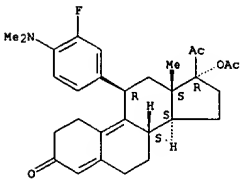


L4 ANSWER 4 OF 10 USPATFULL (Continued)
Absolute stereochemistry.



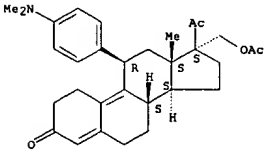
RN 240806-06-8 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)-3-fluorophenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240806-09-1 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-[(acetyloxy)methyl]-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 10 USPATFULL (Continued)

L4 ANSWER 5 OF 10 USPATFULL

ACCESSION NUMBER: 1999:85613 USPATFULL
TITLE: Method for preparing 17.alpha.-acetoxy-11.beta.-(4-N, N-dimethylaminophyl)-19-Norpregna-4,9-diene-3, 20-dione, intermediates useful in the method, and methods for the preparation of such intermediates
INVENTOR(S): Kim, Hyun K., Bethesda, MD, United States
Rao, Pemmaraju Narasinha, San Antonio, TX, United States
Burdett, Jr., James E., Somerset, TX, United States
Acosta, Carmie K., San Antonio, TX, United States
PATENT ASSIGNEE(S): The United States of America as represented by the Department of Health and Human Services, Washington, DC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5929262		19990727
APPLICATION INFO.:	US 1995-413755		19950330 (8)

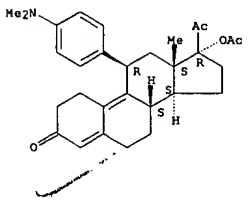
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dees, Jose G.
ASSISTANT EXAMINER: Badio, Barbara
LEGAL REPRESENTATIVE: Leydig, Voit & Mayer
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 777
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods for the preparation of the 19-norprogesterone of formula I ##STR1## and its intermediates, in crystalline and amorphous forms are disclosed. The process is performed by (1) protecting the hydroxyl group of a compound of formula II ##STR2## (2) reacting the protected compound with an alkali or alkaline earth metal anion radical, (3) hydrolyzing the resulting compound, (4) ketalizing the carbonyl groups, (5) epoxidizing the compound, (6) opening the epoxide ring and introducing an N,N,dimethylamino-phenyl functional group into the axial position of C.sub.11, (7) deketalizing and dehydrating the resulting compound, and (8) acetylating to provide 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione (I).

IT **126784-99-4P**
(improved prepn. of 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione and its intermediates)
RN 126784-99-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 10 USPATFULL (Continued)



L4 ANSWER 6 OF 10 USPATFULL

ACCESSION NUMBER: 92:13091 USPATFULL
TITLE: 11 .beta.-phenyl-gonanes, their manufacture and pharmaceutical preparations containing them
INVENTOR(S): Neef, Gunter, Berlin, Germany, Federal Republic of
Beier, Sybille, Berlin, Germany, Federal Republic of
Elger, Walter, Berlin, Germany, Federal Republic of
Henderson, David, Berlin, Germany, Federal Republic of
Otto, Eckard, Berlin, Germany, Federal Republic of
Rohde, Ralph, Berlin, Germany, Federal Republic of
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Berlin and Bergkamen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5089635		19920218
APPLICATION INFO.:	US 1986-827050		19860207 (6)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1985-3504421	19850207
	DE 1985-3527517	19850729

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Killos, Paul J.
LEGAL REPRESENTATIVE: Millen, White & Zelano
NUMBER OF CLAIMS: 45
EXEMPLARY CLAIM: 1
LINE COUNT: 1284
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB 13-alkyl-11.beta.-phenyl-gonanes of general formula I ##STR1## wherein A and B together stand for an oxygen atom, a CH.sub.2 group or a second bond between carbon atoms 9 and 10,

X is an oxygen atom or the hydroxyimino grouping N.about.OH,

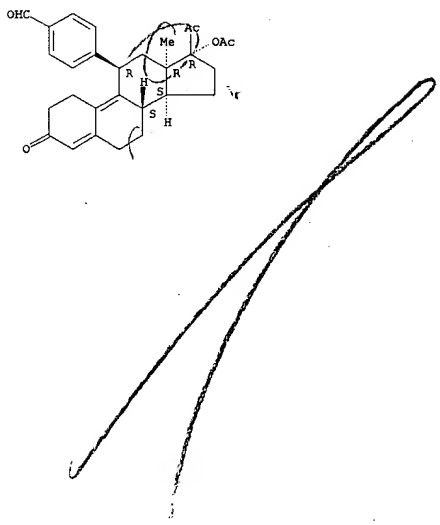
R.sub.1 is a straight-chained or branched, saturated or unsaturated alkyl radical with up to 8 carbon atoms, which contains the grouping ##STR2## with X as described above, R.sub.2 is a methyl or ethyl radical in the .alpha. or .beta. position,

R.sub.9, R.sub.10, R.sub.11 and R.sub.12 each stand for a hydrogen atom, a hydroxy, alkyl, alkoxy or acyloxy group with 1 to 4 carbon atoms respectively or a halogen atom and R.sub.3 and R.sub.4 have a variety of meanings, have antigestagenic and antiglucocorticoid effects.

IT **105114-79-2P**
(prepn. of, as antigestagen and antiglucocorticoid)
RN 105114-79-2 USPATFULL
CN Benzaldehyde, 4-[(11.beta.,13.alpha.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 10 USPATFULL (Continued)



L4 ANSWER 7 OF 10 USPATFULL

ACCESSION NUMBER: 91:102214 USPATFULL
TITLE: 11 .beta.-substituted progesterone analogs
INVENTOR(S): Cook, C. Edgar, Durham, NC, United States
Wani, Mansukh C., Durham, NC, United States
Lee, Yun W., Chapel Hill, NC, United States
Reel, Jerry R., Cary, NC, United States
Rector, Douglas, Mobile, AL, United States
PATENT ASSIGNEE(S): Research Triangle Institute, Research Triangle Park, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5073548		19911217
APPLICATION INFO.:	US 1990-504129		19900403 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1988-210503, filed on 23 Jun 1988, now patented, Pat. No. US 4954490		

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Ward, E. C.
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 1177
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 11.beta.-aryl-19-norprogesterone steroid of the formula: ##STR1## wherein (i) R.sup.1 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl, C.sub.2-4 alkynyl, OH, OC(O)CH.sub.3, or OC(O)R.sup.5 , wherein R.sup.5 is C.sub.2-8 alkyl, C.sub.2-8 alkenyl, C.sub.2-8 alkynyl or aryl, R.sub.2 is H, R.sup.3 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl or C.sub.2-4 alkynyl, R.sup.4 is H, CH.sub.3, F or Cl, R.sup.6 is H, (CH.sub.3).sub.2 N, CH.sub.3 O, CH.sub.3 CO, CH.sub.3 S, CH.sub.3 SO, CH.sub.3 SO.sub.2, and X is O or NOCH.sub.3 ; or

(ii) R.sup.1 and R.sup.2 taken together are a carbon-carbon bond and R.sup.3, R.sup.4, R.sup.6 and X are as defined above; or

(iii) R.sup.1 and R.sup.3 taken together are --CH.sub.2 -- or --N.dbd.N--CH.sub.2 --, R.sup.2 is H and R.sup.4, R.sup.6 and X are as defined above; or

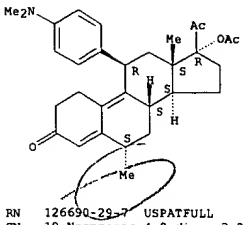
(iv) R.sup.2 and R.sup.3 taken together are .dbd.CH.sub.2 and R.sup.1 , R.sup.4, R.sup.6 and X are as defined above.

IT **126690-26-4P 126690-29-7P 126784-99-4P**
(prepn. of, as antiglucocorticoid and/or (anti)progestogen)

RN 126690-26-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

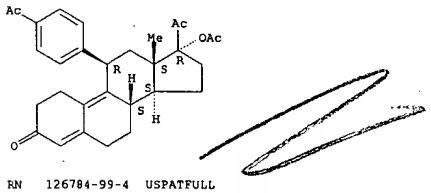
Absolute stereochemistry.

L4 ANSWER 7 OF 10 USPATFULL (Continued)



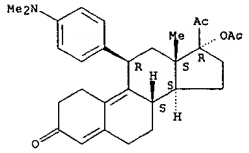
RN 126690-29-7 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 126784-99-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 10 USPATFULL

ACCESSION NUMBER: 90:69718 USPATFULL
TITLE: 11 .beta.-substituted progesterone analogs
INVENTOR(S): Cook, C. Edgar, Durham, NC, United States
Wani, Mansukh C., Research Triangle Park, NC, United States
Lee, Y.-W, Chapel Hill, NC, United States
Reel, Jerry R., Delmar, NY, United States
Rector, Douglas, Raleigh, NC, United States
PATENT ASSIGNEE(S): Research Triangle Institute, Research Triangle Park, NC, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4954490		19900904
APPLICATION INFO.:	US 1988-210503		19880623 (7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Lipovsky, Joseph A.
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 4 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1259
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 11.beta.-aryl-19-norprogesterone steroid of the formula: ##STR1## wherein (i) R.sup.1 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl, C.sub.2-4 alkynyl, OH, OC(O)CH.sub.3, or OC(O)R.sup.5, wherein R.sup.5 is C.sub.2-8 alkyl, C.sub.2-8 alkenyl, C.sub.2-8 alkynyl or aryl, R.sub.2 is H, R.sup.3 is H, C.sub.1-4 alkyl, C.sub.2-4 alkenyl or C.sub.2-4 alkynyl, R.sup.4 is H, CH.sub.3, F or Cl, R.sup.6 is H, (CH.sub.3).sub.2 N, CH.sub.3 O, CH.sub.3 CO, CH.sub.3 S, CH.sub.3 SO, CH.sub.3 SO.sub.2, and X is O or NOCH.sub.3 ; or

(ii) R.sup.1 and R.sup.2 taken together are a carbon-carbon bond and R.sup.3, R.sup.4, R.sup.6 and X are as defined above; or

(iii) R.sup.1 and R.sup.3 taken together are --CH.sub.2 -- or --N.dbd.N--CH.sub.2 --, R.sup.2 is H and R.sup.4, R.sup.6 and X are as defined above; or

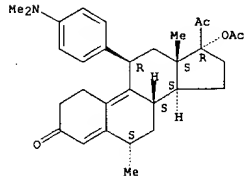
(iv) R.sup.2 and R.sup.3 taken together are .dbd.CH.sub.2 and R.sup.1, R.sup.4, R.sup.6 and X are as defined above.

IT **126690-26-4P 126690-29-7P 126784-99-4P**
(prepn. of, as antiglucocorticoid and/or (anti)progestogen)

RN 126690-26-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

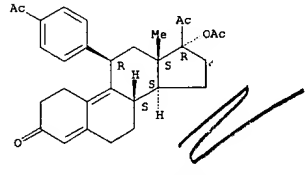
Absolute stereochemistry.

L4 ANSWER 8 OF 10 USPATFULL (Continued)



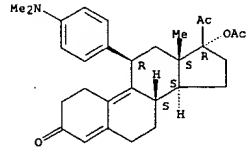
RN 126690-29-7 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 126784-99-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



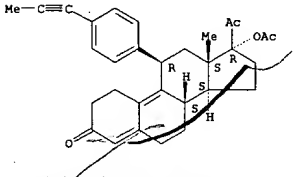
L4 ANSWER 9 OF 10 USPATFULL
ACCESSION NUMBER: 90:23597 USPATFULL
TITLE: Novel 11 .beta.-alkynylphenyl-10-nor-steroids
INVENTOR(S): Teutsch, Jean-Georges, Pantin, France
Klich, Michel, Villemomble, France
Philibert, Daniel, La Varenne-Saint-Hilaire, France
PATENT ASSIGNEE(S): Roussel Uclaf, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4912097		19900327
APPLICATION INFO.:	US 1987-44958		19870430 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1986-6517	19860506

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Berch, Mark L.
LEGAL REPRESENTATIVE: Bierman & Muserlian
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1,9
LINE COUNT: 2174
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel 11.beta.-alkynylphenyl-19-nor-steroids of the formula ##STR1## wherein R.sub.1 is alkynyl of 2 to 8 carbon atoms optionally substituted with at least one member of the group consisting of --OH halogen, trialkylsilyl of 1 to 6 alkyl carbon atoms, alkoxy and alkylthio of 1 to 6 carbon atoms and dialkylamino of 1 to 6 alkyl carbon atoms having remarkably antiprogestomimetic and antiglucocorticoidal activity.
IT **116421-73-9P 116421-74-0P**
(prepn. of, as drug)
RN 116421-73-9 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

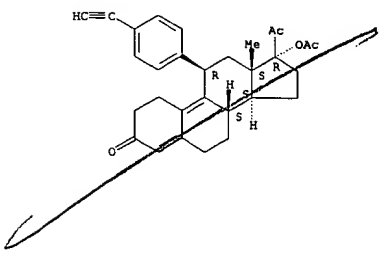
Absolute stereochemistry.



RN 116421-74-0 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-ethynylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 10 USPATFULL (Continued)



L4 ANSWER 10 OF 10 USPATFULL
ACCESSION NUMBER: 88:69168 USPATFULL
TITLE: 13.alpha.-alkyl-gonanes, their production, and pharmaceutical preparations containing same
INVENTOR(S): Neef, Gunter, Berlin, Germany, Federal Republic of
Wiechert, Rudolf, Berlin, Germany, Federal Republic of
Beier, Sybille, Berlin, Germany, Federal Republic of
Elger, Walter, Berlin, Germany, Federal Republic of
Henderson, David, Berlin, Germany, Federal Republic of
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Berlin and Bergkamen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4780461		19881025
APPLICATION INFO.:	US 1985-810148		19851218 (6)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1984-621308, filed on 15 Jun 1984, now abandoned

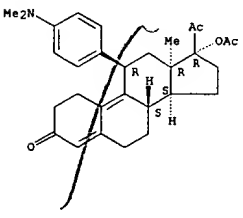
	NUMBER	DATE
PRIORITY INFORMATION:	DE 1983-3321826	19830615
	DE 1984-3413036	19840404
	DE 1984-3446661	19841218

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Schenkman, Leonard
ASSISTANT EXAMINER: Lipovsky, Joseph A.
LEGAL REPRESENTATIVE: Millen & White
NUMBER OF CLAIMS: 41
EXEMPLARY CLAIM: 18
LINE COUNT: 310
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB 13.alpha.-alkylgonanes of formula I ##STR1## where R is an acyl radical with as many as 10 C-atoms, and

X is an oxygen atom or the grouping N--OH,

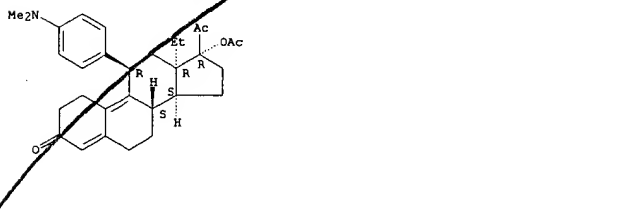
have a strong antigestagenic effect and can be used for postcoital fertility control.
IT **96285-40-4P 96285-50-6P**
(prepn. of, as postcoital contraceptive)
RN 96285-40-4 USPATFULL
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 10 USPATFULL (Continued)
RN 96285-50-6 USPATFULL
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-13-ethyl-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L5 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:869589 CAPLUS
DOCUMENT NUMBER: 137:346927
TITLE: Implantation rates after in vitro fertilization, and treatment of infertility and early pregnancy loss with a nitric oxide donor or substrate alone or in combination with progesterone, and a method for contraception with nitric oxide inhibitors in combination with antiprogestins or other agents
INVENTOR(S): Chwalisz, Krzysztof; Garfield, Robert E.
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 15 pp., Division of U.S. Ser. No. 162,446.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002169205	A1	20021114	US 2002-43232	20020114

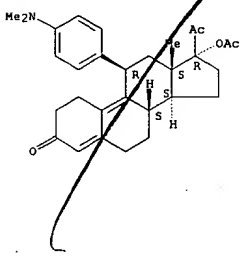
PRIORITY APPLN. INFO.: US 1998-162446 A3 19980929

AB A method is provided for the improvement of implantation rates and/or pregnancy rates in a female mammal, comprising administering to a female mammal in whom pregnancy is desired an effective amt. of (a) a nitric oxide synthase substrate, a nitric oxide donor, or both, optionally in combination with (b) a progestin, and, (c) optionally, in further combination with an estrogen. A method is also provided for fertility control for a female mammal, comprising administering to a female mammal in whom pregnancy is not desired and at risk for becoming pregnant an effective amt. of nitric oxide synthase inhibitor in combination with an antiprogestin. Pharmaceutical compns. are also provided.

IT **126784-99-4**, CDB 2914
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiprogestin; method for contraception with nitric oxide inhibitors in combination with antiprogestins or other agents)

RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

L5 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2003 ACS

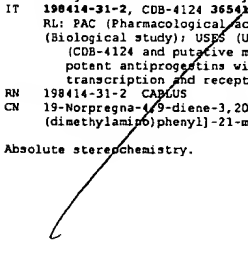
ACCESSION NUMBER: 2002:211446 CAPLUS
DOCUMENT NUMBER: 137:28399
TITLE: CDB-4124 and its putative monodemethylated metabolite, CDB-4453, are potent antiprogestins with reduced antiglucocorticoid activity: in vitro comparison to mifepristone and CDB-2914
AUTHOR(S): Attardi, Barbara J.; Burgenson, Janet; Hild, Sheri A.; Reel, Jerry R.; Blye, Richard P.
CORPORATE SOURCE: Molecular Endocrinology Laboratory, BIOQUAL, Inc., Rockville, MD, 20850, USA
SOURCE: Molecular and Cellular Endocrinology (2002), 188(1-2), 111-123
CODEN: MCENDG; ISSN: 0303-7207
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB To obtain selective antiprogestins, we have examd. the in vitro antiprogestational/antiglucocorticoid properties of two novel compds., CDB-4124 and the putative monodemethylated metabolite, CDB-4453, in transcription and receptor binding assays and compared them to CDB-2914 and mifepristone. All four antiprogestins bound with high affinity to rabbit uterine progestin receptors (PR) and recombinant human PR-A and PR-B (rhPR-A, rhPR-B) and were potent inhibitors of R5020-induced transactivation of the PRE2-tk-luciferase (PRE2-tk-LUC) reporter plasmid and endogenous alk. phosphatase prodn. in T47D-CO human breast cancer cells. None of these compds. exhibited agonist activity in these cells. Induction of luciferase activity was potentiated about five-fold by 8-Br-cAMP under basal conditions and to the same extent in the presence of the PR antagonists. Mifepristone bound to rabbit thymic glucocorticoid receptors (GR) with approx. twice the avidity of the CDB antiprogestins. Inhibition of GR-mediated transcription of PRE2-tk-LUC was assessed in HepG2 human hepatoblastoma cells. Mifepristone exhibited greater antiglucocorticoid activity than CDB-2914, 4124, and 4453, about 12-, 22-, and 185-fold, resp. Thus, while there was a good correlation between binding to PR and functional activity of these antiprogestins, GR binding was not predictive of their glucocorticoid antagonist activity. In agreement with our in vivo results, CDB-4124 and CDB-4453, as well as CDB-2914, are potent antiprogestins in vitro, but show considerably less antiglucocorticoid activity than mifepristone.

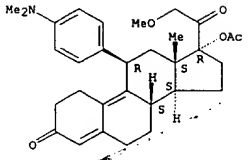
IT **198414-31-2**, CDB-4124 **365416-28-0**, CDB 4453
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CDB-4124 and putative monodemethylated metabolite, CDB-4453, are potent antiprogestins with reduced antiglucocorticoid activity in transcription and receptor binding assays)

RN 198414-31-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

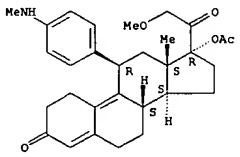


L5 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 365416-28-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

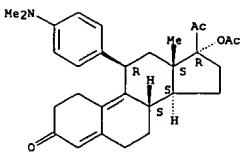
Absolute stereochemistry.



IT **126784-99-4**, CDB-2914
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparison compd.; CDB-4124 and putative monodemethylated metabolite, CDB-4453, are potent antiprogestins with reduced antiglucocorticoid activity in transcription and receptor binding assays)

RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:747811 CAPLUS
DOCUMENT NUMBER: 135:304062
TITLE: Preparation of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregna-4,9-diene-3,20-dione derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.; Simmons, Anne Marie
PATENT ASSIGNEE(S): Secretary of Health and Human Services, USA
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074840	A2	20011011	WO 2001-US8681	20010316
WO 2001074840	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001045849	A5	20011015	AU 2001-45849	20010316
EP 1265911	A2	20021218	EP 2001-918812	20010316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-526855 A 20000317
WO 2001-US8681 W 20010316
OTHER SOURCE(S): MARPAT 135:304062

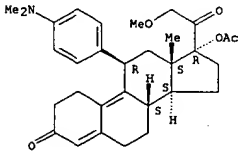
AB 19-Norpregna-4,9-diene-3,20-dione derivs. [I; R1 = OMe, SMe, NMe2, NHMe, NC4H8, NC5H10, NC4H8O, CHO, CH(OH)Me, C(O)Me, O(CH2)2NMe2, and -O(CH2)2NC5H10; R2 = H, halogen, alkyl, acyl, hydroxy, alkoxy, acyloxy, alkylcarbonate, cypionyloxy, S-alkyl, -SCN, S-acyl and -OC(O)R6; R6 = alkyl, alkoxy ester, alkoxy; R3 = alkyl, hydroxy, alkoxy and acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] were prepd as antiprogestational agents. The present invention provides methods wherein I were advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat meningiomas; to treat uterine leiomyomas; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce cervical ripening; to induce labor; and for contraception. Thus, norpregnadienedione deriv. II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps which showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

IT **198414-31-2P**, CDB 4124 **198414-39-0P**, CDB 4167
365416-56-4P 365416-57-5P 365416-60-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)

L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

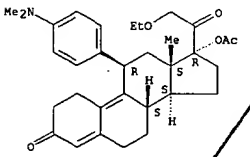
RN 198414-31-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-39-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

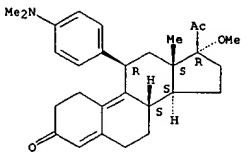
Absolute stereochemistry.



RN 365416-56-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

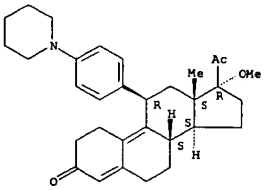
Absolute stereochemistry.

L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



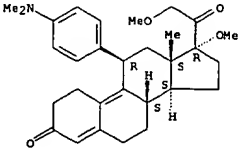
RN 365416-57-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methoxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-60-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dimethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

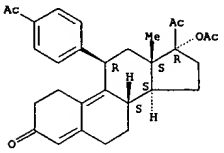
Absolute stereochemistry.



IT **126690-29-7P 198414-03-8P**, CDB 4058 **198414-05-0P**, CDB 3876 **198414-07-2P**, CDB 4059 **198414-11-8P**, CDB 4101 **198414-22-1P**, CDB 4030 **198414-33-4P**, CDB 4125 **198414-34-5P**, CDB 4152 **198414-41-4P 198414-43-6P**, CDB 4031 **240805-96-3P**, CDB 4363 **240805-97-4P**, CDB 3247 **240806-04-6P**, CDB 4418 **240806-11-5P**, CDB 4243

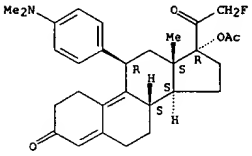
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
365415-80-1P 365416-24-6P 365416-25-7P
365416-26-8P 365416-28-0P 365416-50-8P
365416-51-9P 365416-52-0P 365416-53-1P
365416-54-2P 365416-55-3P 365416-58-6P
365416-59-7P 365416-61-1P 365416-62-2P
365416-63-3P 365416-64-4P 365416-65-5P
365416-66-6P 365416-67-7P 365416-68-8P
365416-69-9P 365416-70-2P 365416-71-3P
365416-72-4P 365416-73-5P 365416-74-6P
365416-75-7P 365416-76-8P 366469-94-5P
366469-95-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)
RN 126690-29-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-03-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

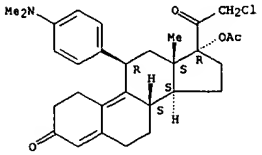
Absolute stereochemistry.



RN 198414-05-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-

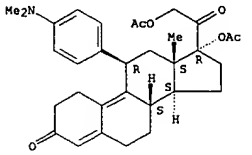
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



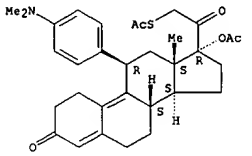
RN 198414-07-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-11-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

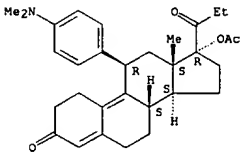
Absolute stereochemistry.



RN 198414-22-1 CAPLUS

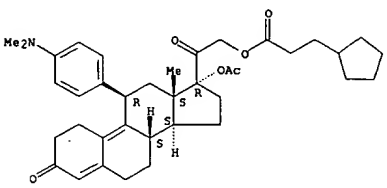
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



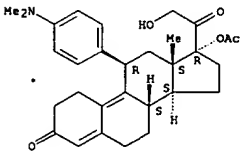
RN 198414-33-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-34-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

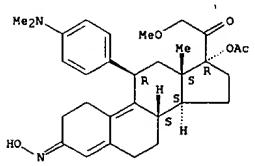
Absolute stereochemistry.



RN 198414-41-4 CAPLUS

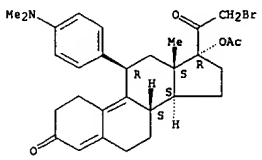
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



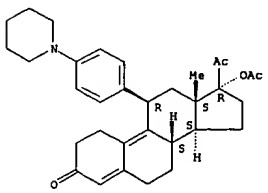
RN 198414-43-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



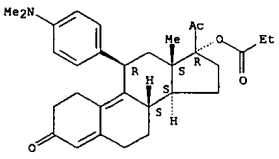
RN 240805-96-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



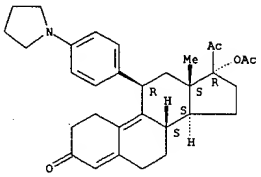
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 240805-97-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240806-04-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

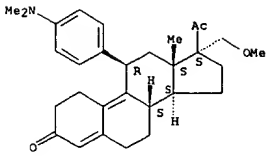
Absolute stereochemistry.



RN 240806-11-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(methoxymethyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

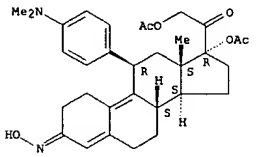
Absolute stereochemistry.

L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



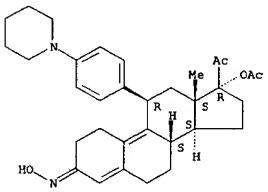
RN 365415-80-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



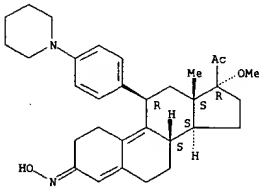
RN 365416-24-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



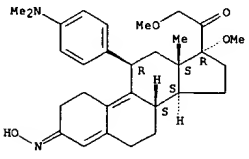
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 365416-25-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-methoxy-11-[4-(1-piperidinyl)phenyl]-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



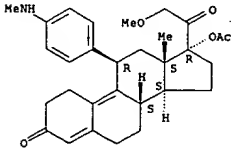
RN 365416-26-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-dimethoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 365416-28-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

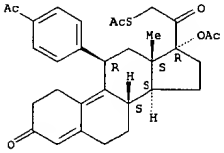
Absolute stereochemistry.



L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

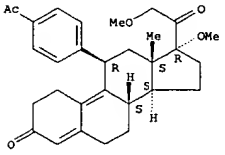
RN 365416-50-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-21-(acetylthio)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



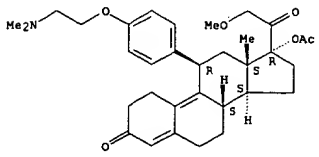
RN 365416-51-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, -11-(4-acetylphenyl)-17,21-dimethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-52-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-[2-(dimethylamino)ethoxy]phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

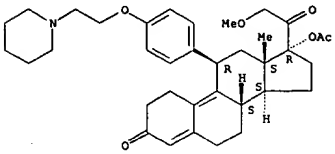
Absolute stereochemistry.



RN 365416-53-1 CAPLUS

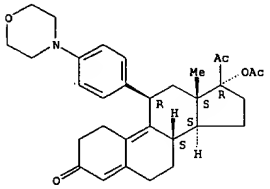
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-54-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(4-morpholinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

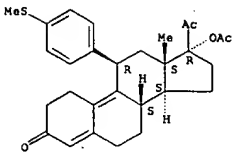
Absolute stereochemistry.



RN 365416-55-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

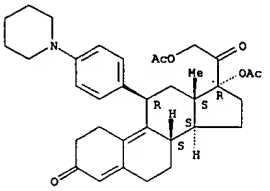
Absolute stereochemistry.

L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



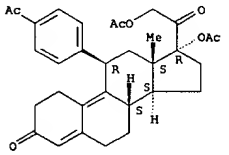
RN 365416-58-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-59-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

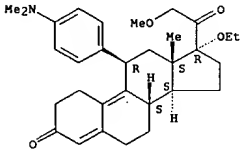
Absolute stereochemistry.



RN 365416-61-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-ethoxy-

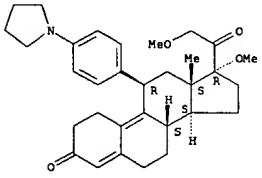
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



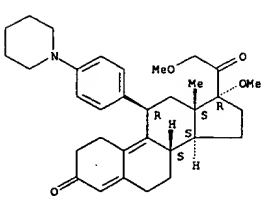
RN 365416-62-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-dimethoxy-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-63-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-dimethoxy-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

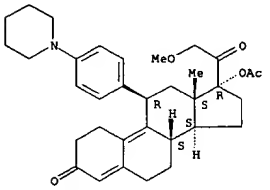
Absolute stereochemistry.



RN 365416-64-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-(1-

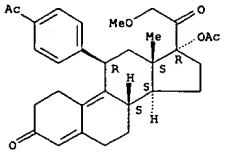
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



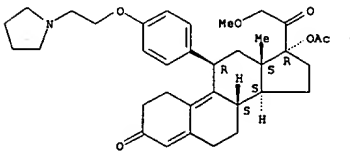
RN 365416-65-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-66-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-methoxy-11-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

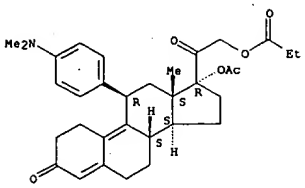
Absolute stereochemistry.



RN 365416-67-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX

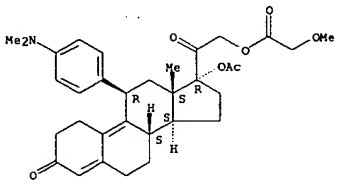
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
NAME)

Absolute stereochemistry.



RN 365416-68-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(methoxyacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

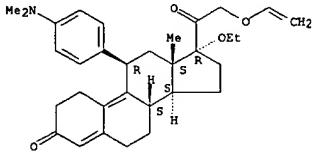


RN 365416-69-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(methoxycarbonyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

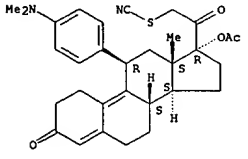
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-17-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



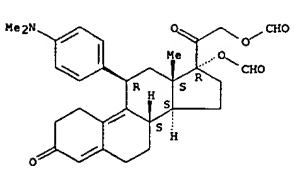
RN 365416-73-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-thiocyanato-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



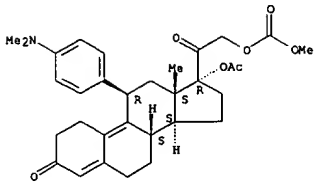
RN 365416-74-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17,21-bis(formyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



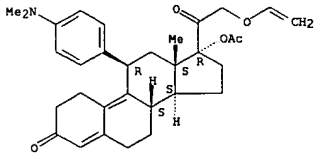
RN 365416-75-7 CAPLUS
CN Glycine, N,N-dimethyl-, (11.beta.)-17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-3,20-dioxo-19-norpregna-4,9-dien-21-yl ester (9CI) (CA INDEX NAME)

L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



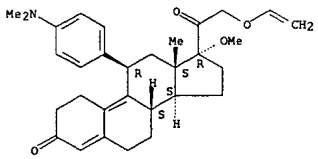
RN 365416-70-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365416-71-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-21-(ethenyloxy)-17-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

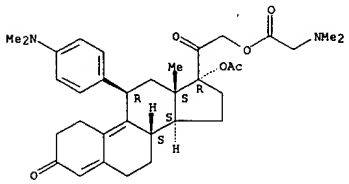
Absolute stereochemistry.



RN 365416-72-4 CAPLUS

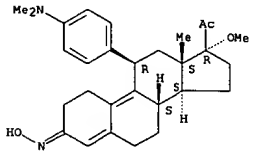
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



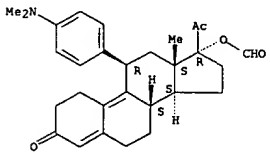
RN 365416-76-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 366469-94-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(formyloxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

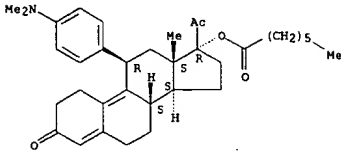
Absolute stereochemistry.



RN 366469-95-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-[(1-oxoheptyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

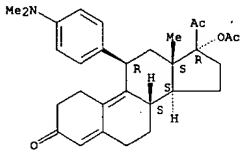
L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



IT **126784-99-4**, CDB 2914
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

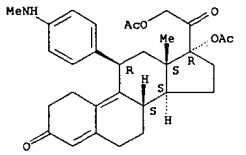
Absolute stereochemistry.



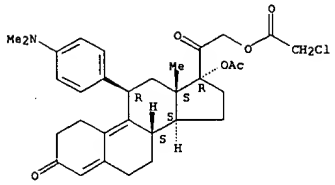
IT **365416-20-2P 365416-21-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)
RN 365416-20-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-[(chloroacetyl)oxy]-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

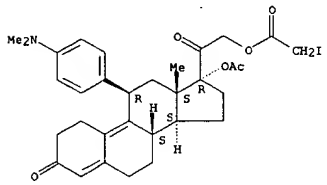


L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 365416-21-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-[(iodoacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **365416-27-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 17.alpha.-substituted-11.beta.-substituted-4-aryl and 21-substituted 19-norpregnadienedione as new antiprogestational agents)
RN 365416-27-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:489415 CAPLUS
DOCUMENT NUMBER: 135:61476
TITLE: Process for the preparation of 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for preparing such intermediates
INVENTOR(S): Kim, Hyun Koo; Rao, Pemmaraju N.; Cessac, James W.; Simmons, Anne Marie
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047945	A1	20010705	WO 2000-US35479	20001229
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001026048	A5	20010709	AU 2001-26048	20001229
EP 1242444	A1	20020925	EP 2000-989551	20001229
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

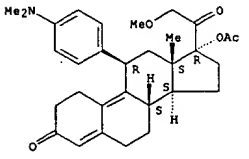
PRIORITY APPLN. INFO.: US 1999-173470P P 19991229
WO 2000-US35479 W 20001229
OTHER SOURCE(S): CASREACT 135:61476

AB A process for prepg. the antiprogestational agent, 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-dien-3,20-dione (I), intermediates useful in the process, and processes for prepg. such intermediates was described. I was prepd. via a multistep synthetic sequence starting from cynaohydrin II. The synthetic sequence involved replacing the cyanohydrin group of II with a chloroacetyl group and a hydroxyl group; replacing the chloro group of the resulting compd. with an acetoxy group; deacetylating the resulting compd.; selectively ketalizing the resulting compd.; selectively methylating the 21-hydroxy group of the resulting compd.; reducing the 20-keto group of the resulting compd.; epoxidizing the resulting compd.; introducing a N,N-dimethylaminophenyl group at the 11-position and opening the epoxide; deketalizing the resulting compd.; selectively oxidizing the 20-hydroxyl group to a keto group; and acetylating the resulting compd.

IT **198414-31-2P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the prepn. of 17.alpha.-acetoxy-11.beta.-[4-N,N-(dimethylamino)phenyl]-21-methoxy-19-norpregna-4,9-diene-3,20-dione, intermediates useful in the process, and processes for prepg. such intermediates)
RN 198414-31-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

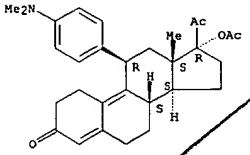
Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:168581 CAPLUS
DOCUMENT NUMBER: 134:361485
TITLE: Effect of a 17.alpha.-(3-Hydroxypropyl)-17.beta.-acetyl Substituent Pattern on the Glucocorticoid and Progestin Receptor Binding of 11.beta.-Arylestra-4,9-dien-3-ones
AUTHOR(S): Cook, C. Edgar; Raje, Prasad; Lee, David Y.-W.; Kepler, John A.
CORPORATE SOURCE: Chemistry and Life Sciences, Research Triangle Institute, Research Triangle Park, NC, 27709-2194, USA
SOURCE: Organic Letters (2001), 3(7), 1013-1016
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Replacing the 17.alpha.-acetoxy substituent in an antiprogestational 17.beta.-acetyl-11.beta.-arylestra-4,9-dien-3-one by 3-hydroxypropyl significantly diminished glucocorticoid receptor binding with little effect on progestin receptor binding.
IT **126784-99-4**, RTI 3021-012
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
((hydroxypropyl)acetyl substituent pattern effect on glucocorticoid and progestin receptor binding of arylestradienones)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:880967 CAPLUS
DOCUMENT NUMBER: 134:33012
TITLE: Pharmaceutical formulations containing hormones for treating postmenopausal and perimenopausal women
INVENTOR(S): Martin, Kathryn A.; Crowley, William F., Jr.
PATENT ASSIGNEE(S): General Hospital Corp., USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

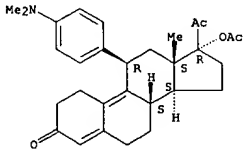
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000074684	A1	20001214	WO 2000-US40061	20000602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1187618	A1	20020320	EP 2000-936507	20000602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003501390	T2	20030114	JP 2001-501220	20000602

PRIORITY APPLN. INFO.: US 1999-137440P P 19990604
WO 2000-US40061 W 20000602

AB Pharmaceutical formulations contg. various combinations of an estrogen, a progestin, an androgen, a selective estrogen receptor modulator, a selective androgen receptor modulator, and/or a selective progestin receptor modulator for use in treating postmenopausal or perimenopausal women are described. The estrogen is selected from the group consisting of, e.g., conjugated estrogens, esterified estrogens, estradiol valerate, estradiol. The androgen is selected from the group consisting of, e.g., testosterone, methyltestosterone, and fluoxymesterone. The progestin is selected from the group consisting of, e.g., progesterone, 17-hydroxyprogesterone, and 19-nortestosterone derivs. The hormones can be administered at 0.01 .mu.g/kg-4 mg/kg (estrogen), 0.01 .mu.g/kg-5 mg/kg (androgen), and 0.02-200 mg/kg (progestogen) via transdermal, buccal, oral, intravaginal, etc., routes.
IT **126784-99-4**, CDB2914
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical formulations contg. hormones for treating postmenopausal and perimenopausal women)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

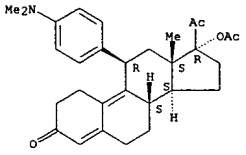
L5 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:470069 CAPLUS
DOCUMENT NUMBER: 133:208033
TITLE: A practical large-scale synthesis of 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione (CDB-2914)
AUTHOR(S): Rao, P. N.; Acosta, C. K.; Bahr, M. L.; Burdett, J. E.; Cessac, J. W.; Morrison, P. A.; Kim, H. K.
CORPORATE SOURCE: Department of Organic Chemistry, Southwest Foundation for Biomedical Research, San Antonio, TX, 78245-0549, USA
SOURCE: Steroids (2000), 65(7), 395-400
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new practical synthesis of 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione (CDB-2914) is described. The synthesis gives easily isolable solids at all steps and is amenable to large-scale process.
IT **126784-99-4P**, CDB-2914
RL: SPN (Synthetic preparation); PREP (Preparation)
(practical large-scale synthesis of CDB-2914)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

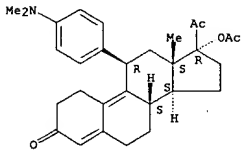


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:381156 CAPLUS
DOCUMENT NUMBER: 133:129998
TITLE: Circulating concentrations of the antiprogestins CDB-2914 and mifepristone in the female rhesus monkey following various routes of administration
AUTHOR(S): Larner, J. M.; Reel, J. R.; Blye, R. P.
CORPORATE SOURCE: Bioqual, Inc., Rockville, MD, 20850, USA
SOURCE: Human Reproduction (2000), 15(5), 1100-1106
CODEN: HUREEE; ISSN: 0268-1161
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The overall aim of these studies was to investigate the oral and i.m. bioavailability of CDB-2914 in intact female rhesus monkeys, and to compare the serum concns. of CDB-2914 with that of mifepristone following oral administration. In the first study, a 50 mg bolus of CDB-2914 per monkey was administered i.v., orally or i.m. The area under the serum concn.-time curve for 72 h (AUC0-72) following i.v. injection was 18 320.+-.2718 ng/mL.bul.h, and that for oral administration was 10 464.+-.3248 ng/mL.bul.h. Thus, the oral bioavailability of CDB-2914 equiv was 56%. The AUC0-168 h following i.m. injection was 11 226.+-.1130 ng/mL.bul.h. Therefore, the i.m. bioavailability of CDB-2914 equiv was 62%. In the second study, the serum concns. of CDB-2914 and mifepristone equiv. were compared following an oral bolus dose in two different formulations. When administered at 5 mg/kg in aq. suspending vehicle (ASV), the mean peak serum concn. (Cmax) of CDB-2914 equiv (192.+-.64 ng/mL) occurred at 5.+-.1 h, whereas the Cmax of mifepristone equiv. (82.+-.25 ng/mL) occurred at 3.+-.1 h. Following administration in gelatin capsules (35 mg/monkey), the Cmax of CDB-2914 equiv (129.+-.24 ng/mL) occurred at 5.+-.1 h, while the Cmax of mifepristone equiv. (31.+-.8 ng/mL) occurred at 3.+-.1 h. The serum concn. (AUC0-120 h) of CDB-2914 equiv was 4.7- or 5.3-fold greater than that of mifepristone equiv. when administered orally in ASV or gelatin capsules resp. The serum protein binding characteristics of CDB-2914 were also studied. CDB-2914 bound to human .alpha.1-acid glycoprotein (AAG), but not with as high an affinity as mifepristone. In contrast, neither CDB-2914 nor mifepristone bound with high affinity to AAG, corticosteroid binding globulin or sex hormone binding globulin in monkey serum. Collectively, these results indicated that CDB-2914 was more efficiently absorbed than mifepristone following oral administration to female rhesus monkeys.
IT **126784-99-4**, CDB-2914
RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(circulating concns. of antiprogestins CDB-2914 and mifepristone in female rhesus monkey following various routes of administration in relation to binding by serum proteins)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

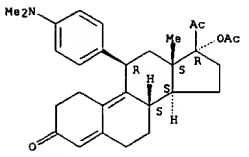


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:381155 CAPLUS
DOCUMENT NUMBER: 133:129997
TITLE: A single mid-follicular dose of CDB-2914, a new antiprogestin, inhibits folliculogenesis and endometrial differentiation in normally cycling women
AUTHOR(S): Stratton, Pamela; Hartog, Beth; Hajizadeh, Negin; Piquion, Johann; Sutherland, Dorett; Merino, Maria; Lee, Young Jack; Nieman, Lynnette K.
CORPORATE SOURCE: Pediatric and Reproductive Developmental Endocrinology Branch, National Institute of Child Health and Human Development, Bethesda, MD, 20892-1583, USA
SOURCE: Human Reproduction (2000), 15(5), 1092-1099
CODEN: HUREEE; ISSN: 0268-1161
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Previous studies in women have shown that the antiprogestin mifepristone delays or inhibits folliculogenesis. The purpose of this study was to explore whether a new analog, CDB-2914, has similar effects on folliculogenesis, ovulation, or on subsequent luteal phase endometrial maturation. Forty-four normally cycling, healthy women recorded urine LH and vaginal bleeding during pre-treatment, treatment, and post-treatment cycles. At a lead follicle diam. of 14-16 mm, a single oral dose (10, 50, 100 mg) of CDB-2914 or placebo was given, and daily ultrasound, estradiol and progesterone were obtained until follicular collapse; an endometrial biopsy was obtained 5-7 days later. Single doses of CDB-2914 were well tolerated. Mid-follicular CDB-2914 suppressed lead follicle growth, causing a dose-dependent delay in folliculogenesis and suppression of plasma estradiol. At higher doses, a new lead follicle was often recruited. Although luteinized unruptured follicles were obsd. at the 100 mg dose, all women had follicular collapse. There was a significant delay in endometrial maturation after CDB-2914 at all doses. The treatment cycle was lengthened by 1-2 wk in 30% at 100, 27% at 50 and 9% at 10 mg. CDB-2914 altered ovarian and endometrial physiol. without major effects on menstrual cyclicity and may have therapeutic utility.
IT **126784-99-4**, CDB-2914
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(single mid-follicular dose of CDB-2914, new antiprogestin, inhibits folliculogenesis and endometrial differentiation in normally cycling women)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

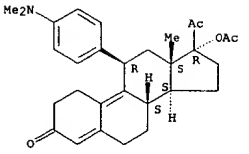
Absolute stereochemistry.

L5 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:299645 CAPLUS
DOCUMENT NUMBER: 133:53856
TITLE: CDB-2914: anti-progestational/anti-glucocorticoid profile and post-coital anti-fertility activity in rats and rabbits
AUTHOR(S): Hild, Sheri Ann; Reel, Jerry R.; Hoffman, Loren H.; Blye, Richard P.
CORPORATE SOURCE: BIOQUAL Inc., Rockville, MD, 20850, USA
SOURCE: Human Reproduction (2000), 15(4), 822-829
CODEN: HUREEE; ISSN: 0268-1161
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Our goal was to det. the endocrine and post-coital anti-fertility activity of CDB-2914. Concurrent administration of progesterone to rats on day 4 post-mating blocked the anti-fertility activity of a single oral 2 mg dose of CDB-2914. CDB-2914 did not exhibit progestational activity in the estradiol-primed immature female rabbit at doses that exhibited anti-progestational activity. CDB-2914 antagonized exogenous and endogenous progesterone-stimulated uterine haptoglobin synthesis and secretion in immature and adult mated rabbits resp. Neither CDB-2914 nor mifepristone exhibited glucocorticoid activity as detd. by thymus involution in rats; mifepristone was twice as potent as CDB-2914 in antagonizing glucocorticoid action. Post-coital CDB-2914 treatment resulted in a dose-dependent redn. in implantation sites and pregnancy rates in rabbits. CDB-2914-induced inhibition of uterine wt. increase, endometrial glandular arborization and uterine haptoglobin synthesis/secretion correlated with inhibition of pregnancy in mated rabbits. A single oral dose of 64 mg CDB-2914/rabbit was effective at blocking pregnancy when administered on day 4, 5, or 6 post-mating, whereas 32 mg/rabbit was only partially effective in this regard. These data demonstrate that CDB-2914 is a potent, orally active anti-progestin with weak anti-glucocorticoid activity. CDB-2914 inhibited implantation in adult rats and rabbits demonstrating its potential as a post-coital contraceptive drug.

IT **126784-99-4**, CDB-2914
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CDB-2914 as antiprogestin with postcoital antifertility activity and weak antiglucocorticoid profile in rats and rabbits)

RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:576939 CAPLUS
DOCUMENT NUMBER: 131:199885
TITLE: Preparation of 20-keto-11.beta.-arylsteroids and their derivatives having agonist or antagonist hormonal properties
INVENTOR(S): Cook, C. Edgar; Kepler, John A.; Zhang, Ping-sheng; Lee, Yue-wei; Tallent, C. Ray
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945022	A1	19990910	WO 1999-US3732	19990305
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6020328	A	20000201	US 1998-35949	19980306
CA 2322862	AA	19990910	CA 1999-2322862	19990305
AU 9928715	A1	19990920	AU 1999-28715	19990305
EP 1060186	A1	20001220	EP 1999-909531	19990305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9908598	A	20011002	BR 1999-8598	19990305
JP 2002505334	T2	20020219	JP 2000-534564	19990305

PRIORITY APPLN. INFO.: US 1998-35949 A 19980306
WO 1999-US3732 W 19990305

OTHER SOURCE(S): MARPAT 131:199885

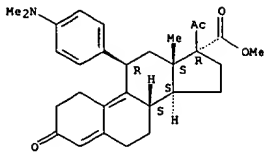
AB 20-Keto-11.beta.-arylsteroids of formula I [X = O, (substituted) NOH, H2, OH, etc.; R1 = dialkylamino, imidazolyl, pyrrolyl, piperidino, etc.; R2 = H, halo; R3 = H, Me, halo; R4 = H, acyloxy, (substituted) OH, alkyl, etc.; R5 = H, alkyl, halo, acyloxy, etc.] are prepd. which exhibit potent antiprogestational activity. Thus, II was prepd. from 17.alpha.-hydroxymethyl-3-methoxy-19-norpregna-1,3,5(10)-trien-20-one and 4-bromo-N,N-dimethylaniline in several steps. The affinity of II for the progesterone hormone receptor was IC50 of 0.7 nM.

IT **240805-94-1P 240805-96-3P 240805-97-4P**
240805-98-5P 240805-99-6P 240806-00-2P
240806-03-5P 240806-04-6P 240806-06-8P
240806-09-1P 240806-11-5P 240806-12-6P
240806-49-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 20-keto-11.beta.-arylsteroids with antiprogestational activity)

RN 240805-94-1 CAPLUS
CN 19-Norpregna-4,9-diene-17-carboxylic acid, 11-[4-(dimethylamino)phenyl]-3,20-dioxo-, methyl ester, (11.beta.)- (9CI) (CA INDEX NAME)

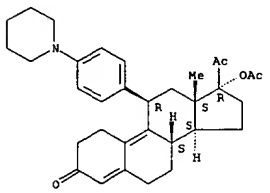
Absolute stereochemistry.

L5 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



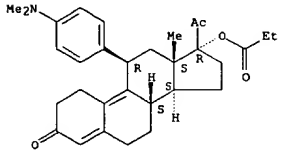
RN 240805-96-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240805-97-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(1-oxopropoxy)-, (11.beta.)- (9CI) (CA INDEX NAME)

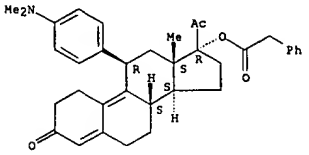
Absolute stereochemistry.



RN 240805-98-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-

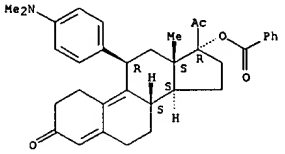
L5 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
[(phenylacetyl)oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



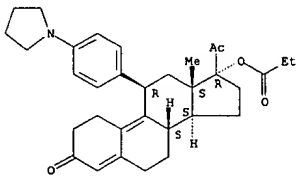
RN 240805-99-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(benzoyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



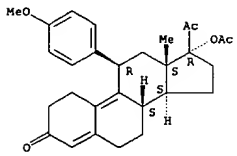
RN 240806-00-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(1-oxopropoxy)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



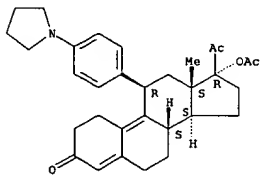
L5 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 240806-03-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-methoxyphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



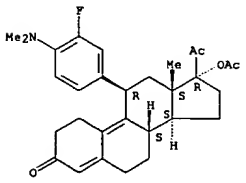
RN 240806-04-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-pyrrolidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



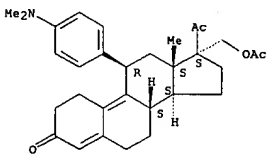
RN 240806-06-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)-3-fluorophenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



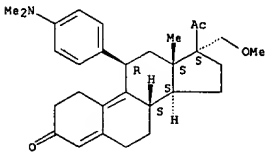
L5 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 240806-09-1 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-[(acetyloxy)methyl]-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



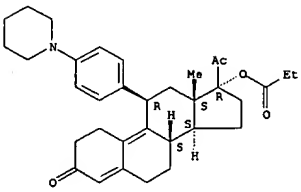
RN 240806-11-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 11-[4-(dimethylamino)phenyl]-17-(methoxymethyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 240806-12-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(1-oxopropoxy)-11-[4-(1-piperidinyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

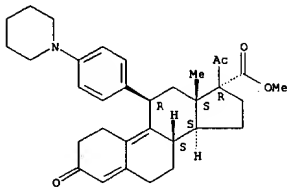
Absolute stereochemistry.



RN 240806-49-9 CAPLUS

L5 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 19-Norpregna-4,9-diene-17-carboxylic acid, 3,20-dioxo-11-[4-(1-piperidinyl)phenyl]-, methyl ester, (11.beta.)- (9CI) (CA INDEX NAME)

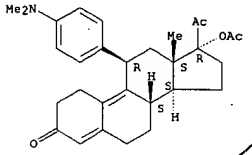
Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:416361 CAPLUS
DOCUMENT NUMBER: 131:243453
TITLE: Synthesis of N-desmethyl derivatives of 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione and mifepristone: substrates for the synthesis of radioligands
AUTHOR(S): Rao, Pemmaraju N.; Acosta, C. Kirk; Cessac, James W.; Bahr, Martin L.; Kim, Hyun K.
CORPORATE SOURCE: Department of Organic Chemistry, Southwest Foundation for Biomedical Research, San Antonio, TX, 78245-0549, USA
SOURCE: Steroids (1999), 64(3), 205-212
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The syntheses of N-desmethyl derivs. of CDB-2914 and the mono-N-desmethyl deriv. of mifepristone are described. We also describe the use of the mono-desmethyl derivs. as substrates for the synthesis of N-tritiomethyl derivs. of CDB-2914 and mifepristone with high specific activity (ca. 80 Ci/mmol), which serve as radioligands for RIA.
IT **126784-99-4**, CDB-2914
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of N-desmethyl derivs. of CDB-2914 and mifepristone as substrates for synthesis of radioligands)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

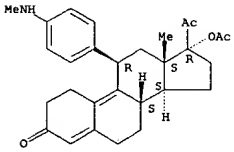
Absolute stereochemistry.



IT **159681-66-0P**, CDB 3877 **244206-53-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of N-desmethyl derivs. of CDB-2914 and mifepristone as substrates for synthesis of radioligands)
RN 159681-66-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

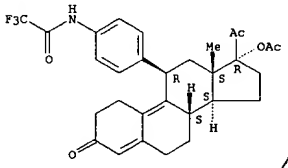
Absolute stereochemistry.

L5 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



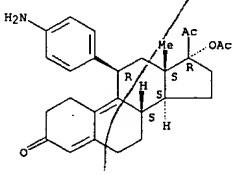
RN 244206-53-9 CAPLUS
CN Acetamide, N-[4-[(11.beta.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



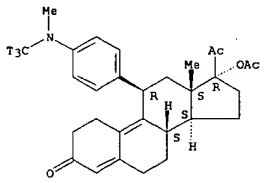
IT **244206-49-3P 244206-50-6P 244206-56-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of N-desmethyl derivs. of CDB-2914 and mifepristone as substrates for synthesis of radioligands)
RN 244206-49-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-aminophenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



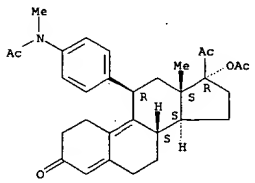
RN 244206-50-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(methylmethyl-t3-amino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

L5 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry.



RN 244206-56-2 CAPLUS
CN Acetamide, N-[4-[(11.beta.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

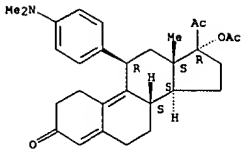
L5 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:154103 CAPLUS
DOCUMENT NUMBER: 130:291788
TITLE: The novel progesterone receptor antagonists RTI 3021-012 and RTI 3021-022 exhibit complex glucocorticoid receptor antagonist activities: implications for the development of dissociated antiprogestins
AUTHOR(S): Wagner, B. L.; Pollio, G.; Giangrande, P.; Webster, J. C.; Breslin, M.; Mais, D. E.; Cook, C. E.; Vedeckis, W. V.; Cidlowski, J. A.; McDonnell, D. P.
CORPORATE SOURCE: Department of Pharmacology and Cancer Biology, Duke University Medical Center, Durham, NC, 27710, USA
SOURCE: Endocrinology (1999), 140(3), 1449-1458
CODEN: ENDOAO; ISSN: 0013-7227
PUBLISHER: Endocrine Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors have identified two novel compds. (RTI 3021-012 and RTI 3021-022) that demonstrate similar affinities for human progesterone receptor (PR) and display equiv. antiprogestenic activity. As with most antiprogestins, such as RU486, RTI 3021-012, and RTI 3021-022 also bind to the glucocorticoid receptor (GR) with high affinity. Unexpectedly, when compared with RU486, the RTI antagonists manifest significantly less GR antagonist activity. This finding indicates that, with respect to antiglucocorticoid function, receptor binding affinity is not a good predictor of biol. activity. The authors have detd. that the lack of a clear correlation between the GR binding affinity of the RTI compds. and their antagonist activity reflects the unique manner in which they modulate GR signaling. Previously, the authors proposed a two step "active inhibition" model to explain steroid receptor antagonism: (1) competitive inhibition of agonist binding; and (2) competition of the antagonist bound receptor with that activated by agonists for DNA response elements within target gene promoters. Accordingly, the authors obsd. that RU486, RTI 3021-012, and RTI 3021-022, when assayed for PR antagonist activity, accomplished both of these steps. Thus, all three compds. are "active antagonists" of PR function. When assayed on GR, however, RU486 alone functioned as an active antagonist. RTI 3021-012 and RTI 3021-022, functioned solely as "competitive antagonists" since they were capable of high affinity GR binding, but the resulting ligand receptor complex was unable to bind DNA. These results have important pharmaceutical implications supporting the use of mechanism based approaches to identify nuclear receptor modulators. Of equal importance, RTI 3021-012 and RTI 3021-022 are two new antiprogestins that may have clin. utility and are likely to be useful as research reagents with which to sep. the effects of antiprogestins and antiglucocorticoids in physiol. systems.

IT **126784-99-4**, RTI 3021-012
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(progesterone receptor antagonists RTI 3021-012 and RTI 3021-022 exhibit complex glucocorticoid receptor antagonist activities)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

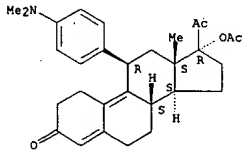
L5 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:646581 CAPLUS
DOCUMENT NUMBER: 130:20723
TITLE: Antiovulatory and postcoital antifertility activity of the antiprogestin CDB-2914 when administered as single, multiple, or continuous doses to rats
AUTHOR(S): Reel, Jerry R.; Hild-Petito, Sheri; Blye, Richard P.
CORPORATE SOURCE: BIOQUAL, Inc., Rockville, MD, 20852-3336, USA
SOURCE: Contraception (1998), 58(2), 129-136
CODEN: CCPTAY; ISSN: 0010-7824
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The present studies in rats were undertaken to investigate the potential of a new antiprogestin, CDB-2914, for use as an emergency postcoital contraceptive for women. When given orally at noon on the day of proestrus, both CDB-2914 and mifepristone displayed dose-dependent antiovulatory activity; however, CDB-2914 was about eight times more potent than mifepristone. Both antiprogestins were considerably less potent in blocking ovulation when injected s.c. To evaluate antifertility activity during continuous low dose administration, rats were dosed orally with 0.5 mg of either CDB-2914 or mifepristone daily, commencing on the day of estrus and continuing for 24 days. Females were cohabited with proven fertile males on day 8 of treatment and were removed 1-3 days later after confirmed mating. The pregnancy rate was significantly reduced only in the CDB-2914-treated females; however, the mean no. of normal implantation sites per pregnant rat was significantly reduced by mifepristone as compared with the vehicle control group. CDB-2914 was also found to prevent pregnancy when administered orally after mating from days 0-3 during tubal egg transport, or from days 4-6 during the pre- and peri-implantation periods. To det. the day of maximal sensitivity to CDB-2914, a single 2-mg dose per rat was given orally on days 0, 1, 2, 3, 4, or 5 postmating. This dose of CDB-2914 was without effect on pregnancy at days 0, 1, 2, or 3 postmating. In contrast, 2 mg CDB-2914 per rat was highly effective in blocking pregnancy when given on either day 4 or 5 postmating. Collectively, these data demonstrate that CDB-2914 is an orally active postcoital antifertility agent that is more potent than mifepristone in the rat. Hence, CDB-2914 may prove to be an effective emergency postcoital contraceptive in women.

IT **126784-99-4**, CDB-2914
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiovulatory and postcoital antifertility activity of antiprogestin CDB-2914 compared to mifepristone as single, multiple, or continuous doses to rats)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:424125 CAPLUS
DOCUMENT NUMBER: 129:50105
TITLE: Uses of anti-glucocorticoid compounds for the treatment of psychoses or addictive behaviors
INVENTOR(S): Oberlander, Claude; Piazza, Pier Vincenzo
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.; Oberlander, Claude; Piazza, Pier Vincenzo
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9826783	A1	19980625	WO 1997-FR2320	19971217
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2757400	A1	19980626	FR 1996-15649	19961219
FR 2757400	B1	19991217		
AU 9855632	A1	19980715	AU 1998-55632	19971217
EP 892641	A1	19990127	EP 1997-952078	19971217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

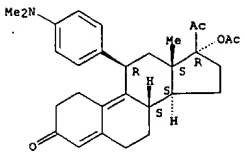
PRIORITY APPLN. INFO.: FR 1996-15649 19961219
WO 1997-FR2320 19971217
OTHER SOURCE(S): MARPAT 129:50105

AB Glucocorticoid antagonists, except mifepristone, are used as dopamine type II receptor antagonists to treat psychotic or addictive behavior. Thus, 17.beta.-hydroxy-10.beta.-[(4-methylphenyl)methyl]-17.alpha.-(1-propynyl)estra-4,9(11)-dien-3-one considerably reduced the response to morphine in vivo.

IT **126784-99-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of anti-glucocorticoid compds. as dopamine type II receptor blocking agents for the treatment of psychoses or addictive behaviors)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



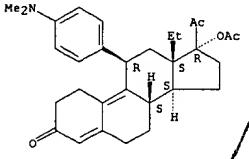
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:13308 CAPLUS
DOCUMENT NUMBER: 128:128177
TITLE: 11.beta.-substituted 13.beta.-ethyl gonane derivatives exhibit reversal of antiprogestational activity
AUTHOR(S): Rao, Pemmaraju N.; Cessac, James W.; Blye, Richard P.; Kim, Hyun K.
CORPORATE SOURCE: Department of Organic Chemistry, Southwest Foundation for Biomedical Research, San Antonio, TX, 78245-0549, USA
SOURCE: Steroids (1998), 63(1), 50-57
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The syntheses of three 17.alpha.-acetoxy-13.beta.-ethyl-11.beta.-aryl-18,19-dinorpregna-4,9-diene-3,20 diones from levonorgestrel are described. Despite their close structural similarity to the antiprogesterone CDB-2914, one of the compds. exhibits agonistic progestational activity, and the other two compds. are totally inactive.

IT **202062-92-8P 202062-93-9P 202062-94-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of acetoxyethylaryldinorpregnadienediones with reversal of antiprogestational activity)
RN 202062-92-8 CAPLUS
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-13-ethyl-, (11.beta.)- (9CI) (CA INDEX NAME)

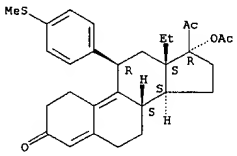
Absolute stereochemistry. Rotation (+).



RN 202062-93-9 CAPLUS
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-13-ethyl-11-[4-(methylthio)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

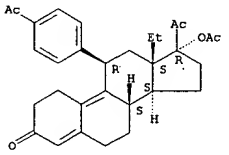
Absolute stereochemistry. Rotation (+).

L5 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 202062-94-0 CAPLUS
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-13-ethyl-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L5 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:745947 CAPLUS
DOCUMENT NUMBER: 128:19047
TITLE: Improvement of implantation rates after in vitro fertilization by administering a nitric oxide substrate and/or donor
INVENTOR(S): Chwalsz, Krzysztof; Garfield, Robert E.
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741866	A1	19971113	WO 1997-EP2371	19970507
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6040340	A	20000321	US 1996-646518	19960507
AU 9728947	A1	19971126	AU 1997-28947	19970507
EP 906105	A1	19990407	EP 1997-923032	19970507
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1218402	A	19990602	CN 1997-194452	19970507
BR 9708980	A	19990803	BR 1997-8980	19970507
JP 2000510462	T2	20000815	JP 1997-539553	19970507
NO 9805204	A	19990106	NO 1998-5204	19981106
KR 2000010833	A	20000225	KR 1998-708974	19981106
PRIORITY APPLN. INFO.:			US 1996-646518 A	19960507
			WO 1997-EP2371 W	19970507

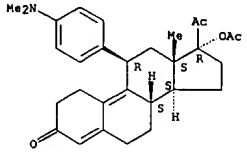
AB A method is provided for the improvement of implantation rates and/or pregnancy rates in a female mammal, comprising administering to a female mammal in whom pregnancy is desired an effective amt. of: (a) a nitric oxide synthase substrate, a nitric oxide donor, or both, optionally in combination with, (b) a progestin, and, (c) optionally, in further combination with an estrogen. A method is also provided for fertility control for a female mammal, comprising administering to a female mammal in whom pregnancy is not desired and at risk of becoming pregnant an effective amt. of nitric oxide synthase inhibitor in combination with an antiprogestin. Pharmaceutical compns. are also provided.

IT **126784-99-4**, CDB2914
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fertility control using a nitric oxide synthase inhibitor in combination with an antiprogestin)

RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

L5 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



L5 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:740250 CAPLUS
DOCUMENT NUMBER: 127:358992
TITLE: Preparation of 21-substituted progesterone derivatives as new antiprogestational agents
INVENTOR(S): Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA; Kim, Hyun K.; Blye, Richard P.; Rao, Pemmaraju N.; Cessac, James W.; Acosta, Carmie K.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741145	A1	19971106	WO 1997-US7373	19970430
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2253673	AA	19971106	CA 1997-2253673	19970430
AU 9729304	A1	19971119	AU 1997-29304	19970430
AU 710139	B2	19990916		
EP 900234	A1	19990310	EP 1997-923523	19970430
EP 900234	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 194358	E	20000715	AT 1997-923523	19970430
JP 2000509396	T2	20000725	JP 1997-539232	19970430
ES 2152671	T3	20010201	ES 1997-923523	19970430
US 2002025951	A1	20020228	US 1999-180132	19990524
PRIORITY APPLN. INFO.:			US 1996-16628P P	19960501
			WO 1997-US7373 W	19970430

OTHER SOURCE(S): MARPAT 127:358992

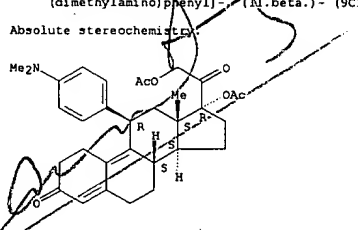
AB Progesterone derivs. of formula I [R1 = OMe, SMe, NMe2, NHMe, CHO, Ac, CHOHCH3; R2 = halo, alkyl, acyl, OH, alkoxy, etc.; R3 = OH, alkyl, alkoxy, acyloxy; R4 = H, alkyl; X = O, (substituted) NOH] are prepd. as antiprogestational agents. The present invention provides methods wherein the compds. of formula I are advantageously used, inter alia, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce labor; and for contraception. Thus, II was prepd. from 3,3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene and 4-bromo-N,N-dimethylaniline in 9 steps. II showed 2.79 times the antiprogestational potency in the antiClauberg test compared to CDB-2914.

IT **198414-07-2P 198414-31-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)

L5 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

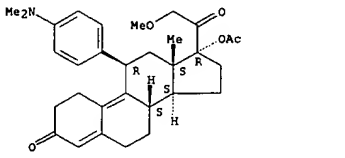
RN 198414-07-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-31-2 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

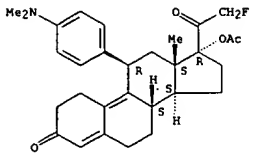


IT **198414-03-8P 198414-05-0P 198414-11-8P 198414-22-1P 198414-33-4P 198414-34-5P 198414-39-0P 198414-43-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of progesterone derivs. as antiprogestational agents)

RN 198414-03-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-fluoro-, (11.beta.)- (9CI) (CA INDEX NAME)

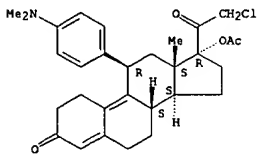
Absolute stereochemistry.

L5 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



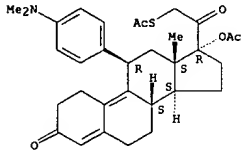
RN 198414-05-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-chloro-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-11-8 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(acetylthio)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

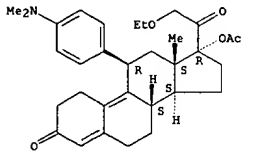


RN 198414-22-1 CAPLUS
CN Estra-4,9-dien-3-one, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-17-(1-oxopropyl)-, (11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

L5 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

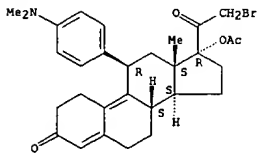
RN 198414-39-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-ethoxy-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



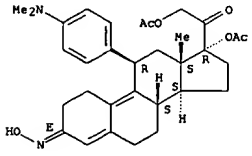
RN 198414-43-6 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-bromo-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

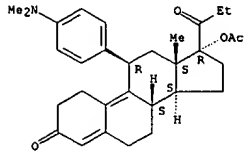


IT **198414-40-3P 198414-41-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of progesterone derivs. as antiprogestational agents)
RN 198414-40-3 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17,21-bis(acetyloxy)-11-[4-(dimethylamino)phenyl]-, 3-oxime, (3E,11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

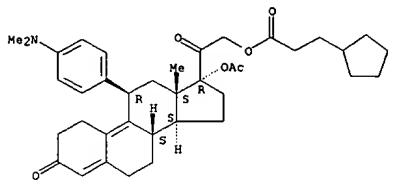


L5 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry. Rotation (+).



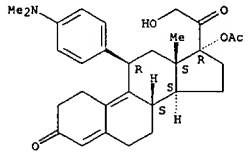
RN 198414-33-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-21-(3-cyclopentyl-1-oxopropoxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 198414-34-5 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-hydroxy-, (11.beta.)- (9CI) (CA INDEX NAME)

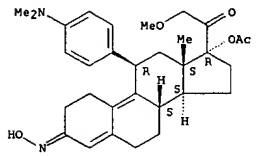
Absolute stereochemistry.



L5 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 198414-41-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-21-methoxy-, 3-oxime, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



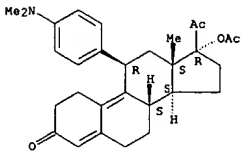
L5 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:705614 CAPLUS
DOCUMENT NUMBER: 125:329114
TITLE: improved preparation of 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione and its intermediates
INVENTOR(S): Kim, Hyun K.; Rao, Pemmaraju Narasinha; Burdett, James E., Jr.; Acosta, Carmie Kirk
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630390	A2	19961003	WO 1996-US3660	19960318
WO 9630390	A3	19970109		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5929262	A	19990727	US 1995-413755	19950330
CA 2216737	AA	19961003	CA 1996-2216737	19960318
AU 9653145	A1	19961016	AU 1996-53145	19960318
AU 716894	B2	20000309		
EP 817793	A2	19980114	EP 1996-909749	19960318
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1995-413755 A 19950330
WO 1996-US3660 W 19960318
OTHER SOURCE(S): CASREACT 125:329114; MARPAT 125:329114
AB Improved method for prepn. of 19-norprogesterone (I) and its intermediates, in cryst. and amorphous forms is given. I is prepd. in seven steps by silylation of 3-ethylenedioxy-17.beta.-cyano-17.alpha.-hydroxyestra-5(10),9(11)-diene followed by oxidn., ketalization, epoxidn., arylation, deprotection and acetylation.
IT **126784-99-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(improved prepn. of 17.alpha.-acetoxy-11.beta.-(4-N,N-dimethylaminophenyl)-19-norpregna-4,9-diene-3,20-dione and its intermediates)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

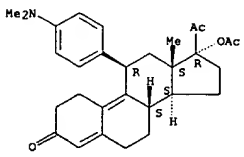
Absolute stereochemistry.

L5 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L5 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:540408 CAPLUS
DOCUMENT NUMBER: 125:238850
TITLE: Effects of two antiprogestins on early pregnancy in the long-tailed macaque (Macaca fascicularis)
AUTHOR(S): Tarantal, Alice F.; Hendrickx, Andrew G.; Matlin, Stephen A.; Lasley, Bill L.; Gu, Quin-Quin; Thomas, Charles A.A.; Vince, Pamela M.; Van Look, Paul F.A.
CORPORATE SOURCE: California Regional Primate Research Center, University of California, Davis, CA, 95616, USA
SOURCE: Contraception (1996), 54(2), 107-115
CODEN: CCPTAY; ISSN: 0010-7824
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The abortifacient effects of mifepristone and HRP 2000 were compared in gravid long-tailed macaques. Thirty-six animals were studied with treatment administered either by the oral (0.5 or 5.0 mg/kg; N = 5 per antiprogestin per dose) or i.m. (IM) routes (0.5 mg/kg; N = 5 per antiprogestin) on gestational days (GD) 23-26; six vehicle controls were included. Blood samples were collected for assay of progesterone (P4) and each of the antiprogestins (pre-treatment, daily GD 23-28, every other day GD 30-40), and animals were monitored sonog. throughout gestation. Results of these studies indicated high rates of abortion with IM administration (3/5 mifepristone, 4/5 HRP 2000) and 5.0 mg/kg oral route (4/5, 2/5, resp.), with less effects noted at oral doses of 0.5 mg/kg (2/5, 0/5, resp.). No early abortions were obsd. in the control groups. Following daily IM treatment, peak levels of 8-16 ng/mL mifepristone were detected whereas 6-10 ng/mL of HRP 2000 were noted (GD 26-27). No serum levels of mifepristone were detected following either of the oral doses whereas serum levels of 2-6 ng/mL HRP 2000 were noted with high dose oral administration. Results of these studies suggest: (1) both antiprogestins are roughly comparable in terminating early pregnancy although HRP 2000 may be more efficacious when administered IM whereas mifepristone may be more effective when administered orally; (2) similar levels of biol. activity are seen with the IM and high dose oral dosing regimens, with little or no activity with the oral low dose; and (3) infants resulting from surviving pregnancies were not affected by early gestation exposure.
IT **126784-99-4**
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(abortifacient effects of antiprogestins in early pregnancy in long-tailed macaque in relation to dose and administration route)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

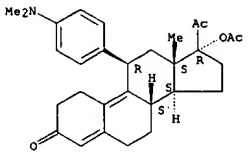
L5 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:498851 CAPLUS
DOCUMENT NUMBER: 125:238820
TITLE: 16.alpha.-Substituted analogs of the antiprogestin RU486 induce a unique conformation in the human progesterone receptor resulting in mixed agonist activity
AUTHOR(S): Wagner, Brandee L.; Pollio, Giuseppe; Leonhardt, Susan; Wani, Mansukh C.; Lee, David Y.-W.; Imhof, Markus O.; Edwards, Dean P.; Cook, C. Edgar; McDonnell, Donald P.
CORPORATE SOURCE: Department Pharmacology Molecular Cancer Biology, Duke University Medical Center, Durham, NC, 27710, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1996), 93(16), 8739-8744
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Previously, the authors have shown that agonists and antagonists interact with distinct, though overlapping regions within the human progesterone receptor (hPR) resulting in the formation of structurally different complexes. Thus, a link was established between the structure of a ligand-receptor complex and biol. activity. In this study, the authors have utilized a series of in vitro assays with which to study hPR pharmacol. and have identified a third class of hPR ligands that induce a receptor conformation which is distinct from that induced by agonists or antagonists. Importantly, when assayed on PR-responsive target genes these compds. were shown to exhibit partial agonist activity; an activity that was influenced by cell context. Thus, as has been shown previously for estrogen receptor, the overall structure of the ligand-receptor complex is influenced by the nature of the ligand. It appears, therefore, that the obsd. differences in the activity of some PR and estrogen receptor ligands reflect the ability of the cellular transcription machinery to discriminate between the structurally different complexes that result following ligand interaction. These data support the increasingly favored hypothesis that different ligands can interact with different regions within the hormone binding domains of steroid hormone receptors resulting in different biologies.

IT **126784-99-4**, RTI 3021-012
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(16.alpha.-substituted analogs of the antiprogestin RU486 induce a unique conformation in the human progesterone receptor resulting in mixed agonist activity)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L5 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:985962 CAPLUS
DOCUMENT NUMBER: 124:22540
TITLE: Pharmaceutical compositions of antiglucocorticoid compounds for treating or preventing symptoms of spontaneous or narcotic-induced withdrawal.
INVENTOR(S): Petit, Francis; Philibert, Daniel; Ulmann, Andre
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 676203	A1	19951011	EP 1995-400764	19950406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FR 2718354	A1	19951013	FR 1994-4156	19940408
FR 2718354	B1	19960503		
ZA 9502058	A	19960313	ZA 1995-2058	19950313
CA 2146600	AA	19951009	CA 1995-2146600	19950407
FI 9501683	A	19951009	FI 1995-1683	19950407
AU 9516326	A1	19951019	AU 1995-16326	19950407
JP 07278017	A2	19951024	JP 1995-107071	19950407
HU 71468	A2	19951128	HU 1995-1019	19950407
CN 1116929	A	19960221	CN 1995-104015	19950407

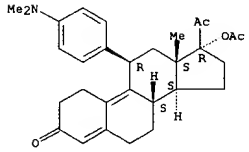
PRIORITY APPLN. INFO.: FR 1994-4156 19940408
OTHER SOURCE(S): MARPAT 124:22540

AB Antiglucocorticoid steroids such as mifepristone, onapristone, lilopristone and related steroids are proposed for the prevention or treatment of withdrawal syndromes, either spontaneous or pptd. by narcotics or mixts. of narcotics. These antiglucocorticoids would be useful in the withdrawal from morphinomimetics such as heroin, morphine or methadone as well as cocaine. Pharmacol. activity was demonstrated by the effect of the antiglucocorticoids on the stereotypic behavior of mice in response to narcotics. Spontaneous withdrawal syndrome was induced by administration of the opioid antagonist, naloxone. An antiprogesterone activity of the steroids in their action mechanism was eliminated. Results confirmed the involvement of endogenous glucocorticoids in morphine withdrawal since this is inhibited by antiglucocorticoids or adrenalectomy.

IT **126784-99-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(RU 486 related; antiglucocorticoid steroids for treatment or prevention of spontaneous opioid or narcotic-induced drug withdrawal syndrome.)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

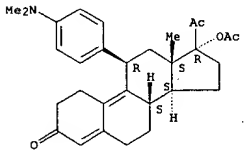
Absolute stereochemistry.

L5 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



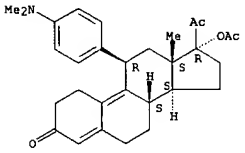
L5 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:499191 CAPLUS
DOCUMENT NUMBER: 122:256542
TITLE: The anti-progestin CDB 2914 has no antifertility effect in male rats
AUTHOR(S): Wang, Christina; Sinha-Hikim, Amiya; Leung, Andrew
CORPORATE SOURCE: Department of Medicine, Cedars-Sinai Medical Center, Los Angeles, CA, USA
SOURCE: Contraception (1995), 51(3), 215-18
CODEN: CCPTAY; ISSN: 0010-7824
DOCUMENT TYPE: Journal
LANGUAGE: English
AB This study examines the effect of an anti-progestin (CDB 2914) with anti-progestational potencies similar to RU 486 on spermatogenesis, sperm maturation, and fertility in male rats. Adult male rats of proven fertility were administered the anti-progestin (10 mg/kg/day) or vehicle (control group) for 14, 35, and 70 days to study the possible effect of this compd. on epididymal sperm maturation, post-meiotic sperm development, spermatogenesis, and fertility, resp. Fertility rates of the rats were detd. by mating studies. The anti-progestin, CDB 2914, had no effect on testis or accessory organ wts., epididymal sperm content or motility, testicular sperm count, spermatogenesis, and fertility of male rats. This study suggests that anti-progestins, when administered even at higher doses than those used in humans, have no contraceptive effect in adult male rats.
IT **126784-99-4**, CDB 2914
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(anti-progestin CDB 2914 has no antifertility effect in male rats)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:86211 CAPLUS
DOCUMENT NUMBER: 122:31745
TITLE: Oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in the presence of methanol
AUTHOR(S): Acosta, Kirk; Cessac, James W.; Rao, P. Narasimha; Kim, Kyun K.
CORPORATE SOURCE: Dep. Org. Chem., Southwest Foundation Biomed. Res., San Antonio, TX, 78228-0147, USA
SOURCE: Journal of the Chemical Society, Chemical Communications (1994), (17), 1985-6
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:31745
AB Reaction of p-substituted N,N-dimethylarylamines with iodine-calcium oxide in tetrahydrofuran-methanol affords N-methylarylamines in good yield.
IT **126784-99-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in methanol)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

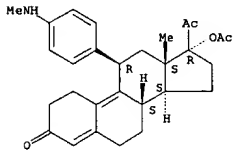
Absolute stereochemistry.



IT **159681-66-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(oxidative demethylation of 4-substituted N,N-dimethylanilines with iodine and calcium oxide in methanol)
RN 159681-66-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(methylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

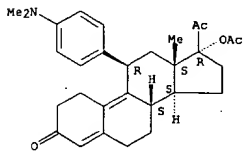
Absolute stereochemistry.

L5 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



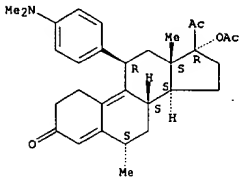
L5 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:290311 CAPLUS
DOCUMENT NUMBER: 120:290311
TITLE: A comparison of the pregnancy-terminating potencies of three anti-progestins in guinea pigs, and the effects of sulprostone
AUTHOR(S): Poyser, N. L.; Forcelledo, M. L.
CORPORATE SOURCE: Med. Sch., Univ. Edinburgh, Edinburgh, EH8 9JZ, UK
SOURCE: Prostaglandins, Leukotrienes and Essential Fatty Acids (1994), 50(5), 245-7
CODEN: PLEAEU; ISSN: 0952-3278
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The anti-progestins mifepristone, lilopristone (ZK 98734) and HRP 2000 were equipotent at terminating the pregnancy of guinea-pigs during mid-gestation, although mifepristone was more effective at low doses. Sulprostone administration on the day following anti-progestin treatment tended to increase the effectiveness of mifepristone and HRP 2000, without affecting the time interval between the start of the antiprogestin treatment and the day of abortion. It is concluded that, of the three afferent anti-progestins used, none is more potent than the other two at terminating pregnancy in the animal model used. The co-administration of a PGE2 analog tends to increase the effectiveness of the anti-progestin.
IT **126784-99-4**
RL: BIOL (Biological study)
(abortion from, sulprostone enhancement of)
RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:73787 CAPLUS
DOCUMENT NUMBER: 118:73787
TITLE: Reversal of activity profile in analogs of the antiprogestin RU 486: effect of a 16.alpha.-substituent on progestational (agonist) activity
AUTHOR(S): Cook, C. Edgar; Wani, Mansukh C.; Lee, Yue Wei; Fail, Patricia A.; Petrow, Vladimir
CORPORATE SOURCE: Research Triangle Inst., Research Triangle Park, NC, 27709-2194, USA
SOURCE: Life Sciences (1993), 52(2), 155-62
CODEN: LIFSAK; ISSN: 0024-3205
DOCUMENT TYPE: Journal
LANGUAGE: English
AB RU 486 analogs (I, R = H, OAc; R1 = H, Et; R2 = H, Me) were tested for binding to progestogen receptors and for progestational and antiprogestational activity. The 17.beta.-acetoxy analogs showed antiprogestational activity, whereas the 16.alpha.-Et analogs were progestogenic. The analog I (R = R1 = R2 = H) exhibited mixed activity. Examn. of structure-activity relationships in combination with computer aided mol. modeling suggests that a binding interaction of the 16.alpha.-Et group with the progesterone receptor (PR) or the PR-progestin response element complex may play the major role in this reversal of activity profile.
IT **126690-26-4 126784-99-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antiprogestogenic activity of, mol. structure in relation to)
RN 126690-26-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

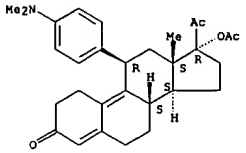
Absolute stereochemistry.



RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



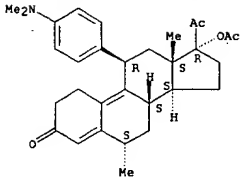
L5 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:198892 CAPLUS
DOCUMENT NUMBER: 112:198892
TITLE: Preparation of 11.beta.-aryl-19-norsteroids as antiglucocorticoids, progestogens, and antiprogestogens
INVENTOR(S): Cook, C. Edgar; Wani, Mansukh C.; Lee, Yue Wei; Reel, Jerry R.; Rector, Douglas
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8912448	A1	19891228	WO 1989-US2706	19890623
W: AU, DK, JP, KR, NO				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4954490	A	19900904	US 1988-210503	19880623
CA 1338906	A1	19970211	CA 1989-603686	19890622
AU 8938506	A1	19900112	AU 1989-38506	19890623
AU 635211	B2	19930318		
EP 422100	A1	19910417	EP 1989-907924	19890623
EP 422100	B1	19970312		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03505582	T2	19911205	JP 1989-507392	19890623
JP 2953725	B2	19990927		
AT 149839	E	19970315	AT 1989-907924	19890623
US 5073548	A	19911217	US 1990-504129	19900403
NO 9005546	A	19901221	NO 1990-5546	19901221
NO 178264	B	19951113		
NO 178264	C	19960221		
DK 9003053	A	19901221	DK 1990-3053	19901221
PRIORITY APPLN. INFO.:			US 1988-210503	19880623
			WO 1989-US2706	19890623

OTHER SOURCE(S): MARPAT 112:198892
AB The title compds. [I; R1 = H, alkyl, alkenyl, etc.; R2 = H, R3 = H, alkyl, alkenyl, alkynyl; R4 = H, Me, F, Cl; R6 = H, Me2N, MeO, MeCO, MeS, etc.; X = O, MeON; or R1R2 = bond; or R1R3 = CH2, N:NCH2; or R2R3 = CH2] were prepd. Grignard reaction of 5.alpha.,6.alpha.-epoxy-6.alpha.-methyl-3,3:20,20-bis(ethylenedioxy)-19-norpregn-9(11)-en-17.alpha.-ol (prepn. given) with p-Me2NC6H4MgBr followed by 17-O-acetylation and deketalization gave I [R1 = AcO, R2 = R3 = H, R4 = Me, R6 = Me2N, X = O]. The binding affinity of I for progesterone receptor in cytosol obtained from estrogen-primed immature rabbit uterus was 8-80% that of progesterone. Several I had glucocorticoid receptor binding affinities up to 2.5-fold that of dexamethasone, and one compd. had in vivo antiprogestational activity comparable to that of RU-486.
IT **126690-26-4P 126690-29-7P 126784-99-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiglucocorticoid and/or (anti)progestogen)
RN 126690-26-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-6-methyl-, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

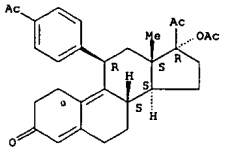
Absolute stereochemistry.

L5 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



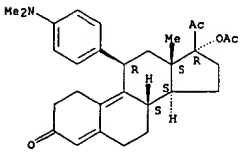
RN 126690-29-7 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-acetylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 126784-99-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



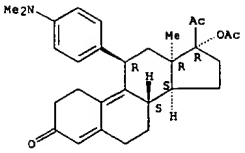
L5 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:213172 CAPLUS
DOCUMENT NUMBER: 110:213172
TITLE: 13(Alpha)-alkylgonanes, their production, and pharmaceutical preparations containing same
INVENTOR(S): Neef, Guenter; Wiechert, Rudolf; Beier, Sybille; Elger, Walter; Henderson, David
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: U.S., 5 pp. Cont. of U.S. Ser. No. 621,308.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4780461	A	19881025	US 1985-810148	19851218
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
DE 3446661	A1	19860619	DE 1984-3446661	19841218

PRIORITY APPLN. INFO.: DE 1983-3321826 19830615
DE 1984-3413036 19840404
US 1984-621308 19840615
DE 1984-3446661 19841218
OTHER SOURCE(S): CASREACT 110:213172; MARPAT 110:213172
AB 13.alpha.-Alkylgonanes [I; R = C1-4 acyl; X = O, NOH; I1; R1 = amino; R2 = H, Me, Et; R3 = (substituted) alkyl; R4 = OH, alkoxy, alkanoyloxy; or R3R4 = O; R5 = H, alkyl; III; Z = CH2CH2, CH2CMe2CH2], having antigestagenic activity and useful as postcoital contraceptives, or for triggering abortion and menstruation (no data), are prepd. via photochem. epimerization of the 13.beta.-gonanes IV. 11.beta.-(4-Dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-hydroxypropyl)-4,9-gonadien-3-one (V) was acetylated with Ac2O in pyridine to give 11.beta.-(4-dimethylaminomethyl)-17.alpha.-hydroxy-13.alpha.-methyl-17.beta.-(3-acetoxypropyl)-4,9-gonadien-3-one. A tablet was formulated contg. V 10.0, lactose 140.0, corn starch 69.5, polyvinylpyrrolidone 25 2.5, Aerosil 2.0, and Mg stearate 0.5 mg.
IT **96285-40-4P 96285-50-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as postcoital contraceptive)
RN 96285-40-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

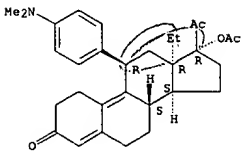
Absolute stereochemistry.

L5 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 96285-50-6 CAPLUS
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-13-ethyl-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



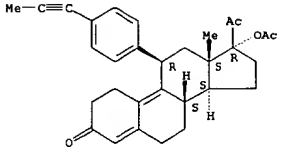
L5 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:529463 CAPLUS
DOCUMENT NUMBER: 109:129463
TITLE: New 11-(alkynylphenyl)-substituted 19-nor and 19-nor-D-homo steroids, their formation and pharmacological activity, and processes for their preparation
INVENTOR(S): Teutsch, Jean Georges; Klich, Michel; Philibert, Daniel
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: Eur. Pat. Appl., 88 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 245170	A1	19871111	EP 1987-401018	19870504
EP 245170	B1	19891129		
R: CH, DE, GB, IT, LI, NL, SE				
FR 2598421	A1	19871113	FR 1986-6517	19860506
FR 2598421	B1	19880819		
US 4912097	A	19900327	US 1987-44958	19870430
HU 44793	A2	19880428	HU 1987-2007	19870505
HU 196224	B	19881028		
JP 62294694	A2	19871222	JP 1987-109059	19870506

PRIORITY APPLN. INFO.: FR 1986-6517 19860506
OTHER SOURCE(S): CASREACT 109:129463
AB Title steroids I [R1 = C2-8 alkynyl (un)substituted by OH, halo, trialkylsilyl, alkoxy, alkylthio, dialkylamino, or oxo; R2 = C1-3 alkyl; A/B-rings = Q1-Q5; D-ring = Q6, Q7; R3, R4 = H, C1-4 alkyl; R5 = H, OH, acycloxy, (un)substituted C1-6 alkoxy; R6 = H, C1-8 alkyl, C7-15 aralkyl; R7, R8 = H, OH, etc.; R7R8 = lactones and related groups; YZ = CH2CH2, CH:CH, 1,2-cyclopropanediyl, CHR9CH2, CH2CHR10; R9, R10 = C1-4 alkyl] are prepd. for use as progestogens, antiprogestogens, and/or antiglucocorticoids. 3,3-Ethylenedioxy-5,10-epoxy-estr-9(11)-en-17-one was treated with 4-(Me3SiC:C)C6H4MgBr and CuCl in THF, and the product treated with CH2:CHCH2MgBr and deprotected and dehydrated (NH4OH in aq. MeOH, then aq. HCl) to give (ethylnylphenyl)allylhydroxyestradienone II. At 10-6M in vitro, II gave 99% reversal of the dexamethasone-induced redn. of uridine uptake by rat thymocytes (5 .times. 10-8M dexamethasone). Tablets were prepd. from 50 mg of the 17.alpha.-(chloroethynyl) analog of II, and 120 mg of a mixt. of talc, starch, and Mg stearate.
IT **116421-73-9P 116421-74-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)
RN 116421-73-9 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(1-propynyl)phenyl]-, (11.beta.)- (9CI) (CA INDEX NAME)

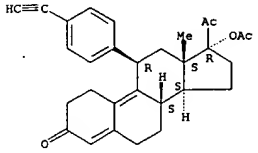
Absolute stereochemistry.

L5 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 116421-74-0 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-(4-ethynylphenyl)-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



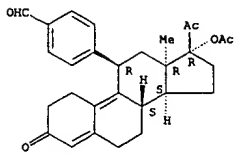
L5 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1987:5324 CAPLUS
DOCUMENT NUMBER: 106:5324
TITLE: 11.beta.-Phenylgonanes and pharmaceutical compositions containing them
INVENTOR(S): Neef, Guenter; Wiechert, Rudolf; Ottow, Eckard; Rohde, Ralph; Beier, Sybille; Elger, Walter; Henderson, David
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 190759	A2	19860813	EP 1986-101548	19860206
EP 190759	A3	19861120		
EP 190759	B1	19890830		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DE 3504421	A1	19860807	DE 1985-3504421	19850207
DE 3527517	A1	19870129	DE 1985-3527517	19850729
AT 45956	E	19890915	AT 1986-101548	19860206

PRIORITY APPLN. INFO.: DE 1985-3504421 19850207
DE 1985-3527517 19850729
EP 1986-101548 19860206
OTHER SOURCE(S): CASREACT 106:5324
AB 11.beta.-Phenylgonane derivs. I [Z = O, CH2, bond; X = O, NOH; R1 = 3- or 4-hydrocarbyl contg. C:X; R2 = .alpha.- or .beta.-Me or -Et; R3 and R4 = various group combinations (e.g. R3 or R4 = OH, acyloxy, other = (un)substituted C.tplbond.CH, R3R4 = CH2CH2CO2); R5-8 = H, OH, alkyl, alkoxy, acyloxy, halo] were prepd. as antigestagens and antiglucocorticoids, with a notable dissocn. of the two activities. Thus, 4-BrC6H4Ac was ketalized with Me2C(CH2OH)2, and the ketal was coupled with epoxyestrenol deriv. II by a Cu-catalyzed Grignard reaction. The resulting arylgonane deriv. III (R3 = OH, R4 = H) was oxidized to give III (R3R4 = O), which underwent alkynylation by LiC.tplbond.CMe or LiC.tplbond.CCH2OTHP (THP = 2-tetrahydropyranyl) to give III (R3 = OH, R4 = C.tplbond.CR9, R9 = Me or CH2OTHP). The former was hydrolyzed by aq. HOAc, and the latter was hydrogenated and then hydrolyzed, to give IV (R4 = C.tplbond.CMe) (V) and (Z)-IV (R4 = CH:CHCH2OH) (VI). V and VI showed, resp., 10- and 30-fold the abortifacient activity of the known compd. RU-38486 in gravid rats, while showing 30% and <1% of its antiglucocorticoid activity.
IT **105114-79-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antigestagen and antiglucocorticoid)
RN 105114-79-2 CAPLUS
CN Benzaldehyde, 4-[(11.beta.,13.alpha.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



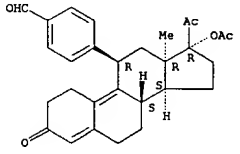
L5 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1987:5323 CAPLUS
DOCUMENT NUMBER: 106:5323
TITLE: 11.beta.-Phenylgonanes
INVENTOR(S): Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Ottow, Eckhard; Rhode, Ralph
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 40 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3504421	A1	19860807	DE 1985-3504421	19850207
AU 8652913	A1	19860814	AU 1986-52913	19860131
AU 580843	B2	19890202		
IL 77762	A1	19920818	IL 1986-77762	19860202
CN 86100994	A	19861008	CN 1986-100994	19860203
CN 1033753	B	19970108		
ES 551625	A1	19861216	ES 1986-551625	19860204
DK 8600560	A	19860808	DK 1986-560	19860205
DK 161709	B	19910805		
DK 161709	C	19920113		
NO 8600425	A	19860808	NO 1986-425	19860206
NO 171994	B	19930215		
NO 171994	C	19930526		
EP 190759	A2	19860813	EP 1986-101548	19860206
EP 190759	A3	19861120		
EP 190759	B1	19890830		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
HU 40453	A2	19861228	HU 1986-499	19860206
HU 194904	B	19880328		
DD 261166	A5	19881019	DD 1986-286860	19860206
AT 45956	E	19890915	AT 1986-101548	19860206
CA 1310630	A1	19921124	CA 1986-501252	19860206
FI 8600559	A	19860808	FI 1986-559	19860207
FI 85377	B	19911231		
FI 85377	C	19920410		
JP 61183296	A2	19860815	JP 1986-24260	19860207
JP 04037080	B4	19920618		
ZA 8600936	A	19860924	ZA 1986-936	19860207
US 5089635	A	19920218	US 1986-827050	19860207
NO 8604209	A	19860808	NO 1986-4209	19861021
NO 170285	B	19920622		
NO 170285	C	19920930		

PRIORITY APPLN. INFO.: DE 1985-3504421 19850207
DE 1985-3527517 19850729
EP 1986-101548 19860206
NO 1986-425 19860206
AB Gonanes I [AB = O, CH2, bond; X = O, NOH; n = 0, 1; R1 = H, C1-4 alkyl; R2 = Me, Et; R3, R4 = OH, acyloxy, alkynyl, acyl, Me, H, (substituted) alkyl, alkenyl, tetrahydrofuran-5-on-2-yl], useful as contraceptives, antiprogestins, and antiglucocorticoids (data given), were prepd. 17.alpha.-Ethynyl-11.beta.-(4-formylphenyl)-17.beta.-hydroxy-4,9-estradien-3-one was prepd. in 5 steps from 4-BrC6H4CHO, (HOCH2)2CMe2, HC(OMe)3, and 4-MeC6H4SO3H.
IT **105114-79-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antigestagen and antiglucocorticoid)
RN 105114-79-2 CAPLUS
CN Benzaldehyde, 4-[(11.beta.,13.alpha.)-17-(acetyloxy)-3,20-dioxo-19-norpregna-4,9-dien-11-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



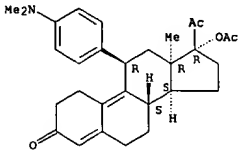
L5 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1986:34230 CAPLUS
DOCUMENT NUMBER: 104:34230
TITLE: New steroids with antiprogestational and antiglucocorticoid activities
AUTHOR(S): Neef, Guenter; Beier, Sybille; Elger, Walter; Henderson, David; Wiechert, Rudolf
CORPORATE SOURCE: Res. Lab., Schering A.-G./Bergkamen, Berlin, D-1000/65, Fed. Rep. Ger.
SOURCE: Steroids (1984), 44(4), 349-72
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB C-11 substituted 19-norsteroids I and II (R = MeO, F, Me2N; R1 = HO, AcO, HC.tplbond.C, MeC.tplbond.C, HOCH2CH2CH2; R2 = HO, Ac, HC.tplbond.C, HOCH2CH2CH2, HOCH2CH:CH) with inverse configuration at C-13 were synthesized. 11.beta.-Aryl compds. possess antiprogestational and antiglucocorticoid activities.

IT **96285-40-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiglucocorticoid activity of)

RN 96285-40-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:406617 CAPLUS
DOCUMENT NUMBER: 103:6617
TITLE: 13.alpha.-Alkylgonanes and pharmaceutical compositions containing them
INVENTOR(S): Neef, Guenter; Sauer, Gerhard; Wiechert, Rudolf; Beier, Sybille; Elger, Walter; Henderson, David; Rohde, Ralph
PATENT ASSIGNEE(S): Schering A.-G. , Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 129499	A2	19841227	EP 1984-730062	19840613
EP 129499	A3	19851009		
EP 129499	B1	19871209		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DE 3321826	A1	19841220	DE 1983-3321826	19830615
DE 3413036	A1	19851017	DE 1984-3413036	19840404
AT 31313	E	19871215	AT 1984-730062	19840613

PRIORITY APPLN. INFO.: DE 1983-3321826 19830615
DE 1984-3413036 19840404
EP 1984-730062 19840613

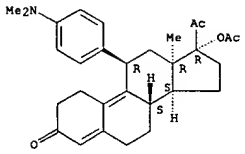
AB Phenylalkylgonenes I [R = H, alkyl; R1 = amino, alkylamino, 5- or 6-membered heterocycle ring radical, alkoxy; R2 = H, Me, Et; R3 = alkyl, alkylsulfinylalkyl, alkoxyalkenyl, alkynyl, cyanoalkyl, Ac, HOCH2CO; R4 = HO, alkoxy, acyloxy; R3R4 = 5-oxodihydrofuran-2(3H)-ylidene] were prepd. via epimerization of estrene derivs. and possessed antigestagenic and post-coital contraceptive activities. Thus, the (aminophenyl)estrenone ketal II was photolyzed in THF using a Hg high-pressure lamp to give the C-13 epimer of II, which underwent successive addn. reaction with LiC.tplbond.CCH2O-THP (THP = tetrahydropyranyl), hydrogenation, and hydrolysis to give the (hydroxypropyl)gonadiene III. At 10 mg/animal/day III had a 100% abortion rate in rats.

IT **96285-40-4P 96285-50-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 96285-40-4 CAPLUS
CN 19-Norpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

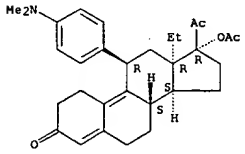
Absolute stereochemistry.

L5 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 96285-50-6 CAPLUS
CN 18,19-Dinorpregna-4,9-diene-3,20-dione, 17-(acetyloxy)-11-[4-(dimethylamino)phenyl]-13-ethyl-, (11.beta.,13.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L2            7 S L1
L3           78 S L1 FULL

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L4           10 S L3

     FILE 'CAPLUS' ENTERED AT 12:15:28 ON 24 FEB 2003
L5           33 S L3
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